STIC-EIC1600/2900 273978

From: NELSON BLAKELY III [nelson.blakelyiii@uspto.gov]
Sent: Wednesday, October 01, 2008 10:14 AM
To: STIC-EIC1600/2900
Subject: Search Request, Case/Application No.: 10/596,132

10596132--S
ctureSearch.

Requester: NELSON BLAKELY III (P/1614)
Art Unit: GROUP ART UNIT 1614
Employee Number:
Office Location: REM 3B69
Phone Number: (571)270-3290

Case/Application number: 10/596,132
Priority Filing Date:
Format for Search Results: Score
Meaning of unusual acronyms or initialisms:

Identify the novelty:

Additional comments:
Attached you will find the compound structure and chemicle name highlighted.

Attachment: Yes (10596132--StructureSearch.pdf)

LB

Searcher:
Searcher Phone:
Date Searcher Picked Up:
Date Completed:
Searcher Prep Time:
Online Time:

Type of Search
NA #:  AA#:
S/L:  Oligomer:
Encode/Transl:
Structure #:  Text:
Inventor:  Litigation:

Vendors/cost where applicable
STN:
DIALOG:
QUESTEL/ORBIT:
LEXYS/NEXIS:
GENSEQ SYSTEM:
WEN/INTERNET:
OTHER (Specify):

INVENTOR SEARCH

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=> d ibib abs ind hitstr l7 1-1

L7   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:          2005:517400  HCAPLUS  Full-text
DOCUMENT NUMBER:           143:59840
TITLE:                     Preparation of tetrahydroquinoline derivative for
                           treating nicotine craving
INVENTOR(S):               Chiamulera, Cristiano; Reggiani,
                           Angelo; Trist, David Gordon;
                           Teneggi, Vincenzo
PATENT ASSIGNEE(S):        Glaxo Group Limited, UK
SOURCE:                    PCT Int. Appl., 17 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:             Patent
LANGUAGE:                  English
FAMILY ACC. NUM. COUNT:    1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2005053693        A1    20050616    WO 2004-EP13666         20041130
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
             SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
     EP 1689399           A1    20060816    EP 2004-819644          20041130
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS
     JP 2007513111         T     20070524    JP 2006-541886          20041130
PRIORITY APPLN. INFO.:                       GB 2003-27912       A   20031202
                                             WO 2004-EP13666     W   20041130
OTHER SOURCE(S):           CASREACT 143:59840
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compound I and pharmaceutically acceptable salts thereof were prepared Pd-catalyzed intramol. cyclization of compound II, e.g., prepared from 5-chloro-2-iodoaniline·HCl in a multistep process, followed by hydrolysis using aqueous NaOH and treatment with meglumine afforded compound I·meglumine salt. The average craving factor 2's (wherein factor 2 refers to anticipation of relief from neg. affects of abstinence) during abstinence with compound I·meglumine salt and placebo administration were 3.6 and 4.0, resp. Compound I is claimed useful for the treatment of nicotine craving.

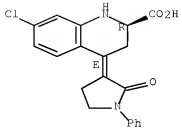
```
IC   ICM  A61K031-4709
     ICS  A61P025-34
CC   27-17 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 1
ST   tetrahydroquinoline prepn nicotine craving treatment
```

IT Human
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
IT 476689-79-8P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
IT 252349-31-8P
RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
IT 654054-21-0P
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
IT 252349-29-4P 654054-23-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
IT 476689-77-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
IT 924-44-7 6213-94-1, Vinyloxytrimethylsilane
6284-40-8, Meglumine 6781-33-5 148776-18-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
IT 54-11-5, Nicotine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(treatment of nicotine craving; preparation of tetrahydroquinoline derivative
for treating nicotine craving)
IT 476689-78-8P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
RN 476689-78-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (2R,4E)-7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-2-quinolinecarboxylate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 476689-77-7
CMF C20 H17 Cl N2 O3

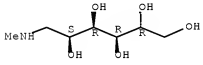
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



CM 2

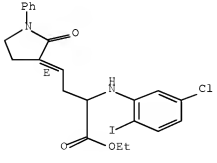
CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.



IT 252349-31-8P
RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
RN 252349-31-8 HCAPLUS
CN Butanoic acid, 2-[(5-chloro-2-iodophenyl)amino]-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, ethyl ester, (4E)- (CA INDEX NAME)

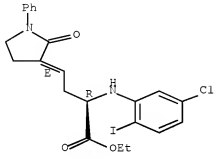
Double bond geometry as shown.



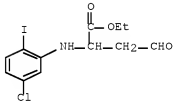
IT 854054-21-0P
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydroquinoline derivative for treating nicotine craving)
RN 854054-21-0 HCAPLUS
CN Butanoic acid, 2-[(5-chloro-2-iodophenyl)amino]-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, ethyl ester, (2R,4E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

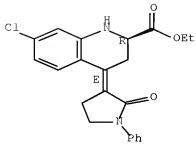



IT 252349-29-4P 854054-23-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
RN 252349-29-4 HCAPLUS
CN Butanoic acid, 2-[(5-chloro-2-iodophenyl)amino]-4-oxo-, ethyl ester (CA INDEX NAME)



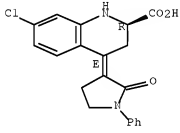

RN 854054-23-2 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, ethyl ester, (2R,4E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



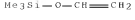
IT 476689-77-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
RN 476689-77-7 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (2R,4E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



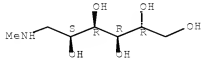
IT 924-44-7 6213-94-1, Vinyloxytrimethylsilane
6284-40-8, Meglumine 6781-33-5 148776-18-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
RN 924-44-7 HCAPLUS
CN Acetic acid, 2-oxo-, ethyl ester (CA INDEX NAME)

RN 6213-94-1 HCAPLUS
CN Silane, (ethenyloxy)trimethyl- (CA INDEX NAME)



RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.

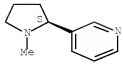


RN 6781-33-5 HCAPLUS
CN Benzenamine, 5-chloro-2-iodo-, hydrochloride (9CI) (CA INDEX NAME)

RN 148776-18-5 HCAPLUS
CN Phosphonium, (2-oxo-1-phenyl-3-pyrrolidinyl)triphenyl-, bromide (1:1) (CA INDEX NAME)

IT 54-11-5, Nicotine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(treatment of nicotine craving; preparation of tetrahydroquinoline derivative
for treating nicotine craving)
RN 54-11-5 HCAPLUS
CN Pyridine, 3-[(2S)-1-methyl-2-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

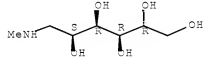


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

(This is not a salt of formula I, as indicated in Claim 6. It was searched, and results
combined with results from the structure (above).)

L16 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN 6284-40-8 REGISTRY
ED Entered STN: 16 Nov 1984
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Glucitol, 1-deoxy-1-(methylamino)-, D- (8CI)
CN Sorbitol, 1-deoxy-1-methylamino- (6CI)
OTHER NAMES:
CN 1-Deoxy-1-(methylamino)-D-glucitol
CN 1-Deoxy-1-methylaminosorbitol
CN D-(-)-N-Methylglucamine
CN Meglumin
CN Meglumine
CN Methylglucamin
CN Methylglucamine
CN N-Methyl-D(-)-glucamine
CN N-Methyl-D-glucamine
CN N-Methylglucamine
CN N-Methylsorbitylamine
CN NSC 52907
CN NSC 7391
FS STEREOSEARCH
DR 768396-31-2, 901768-29-4, 133-52-8, 53418-31-8, 131133-75-0, 131337-57-0, 97780-33-1, 50560-29-7, 61919-50-4, 31566-24-2, 45081-44-5, 168828-98-6, 170034-45-4, 184169-59-3, 224432-61-5
MF C7 H17 N O5
CI COM
LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DRUGU, EMBASE, GMELIN*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, PIRA, PROMT, SPECINFO, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, USPATOLD
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)

Absolute stereochemistry.

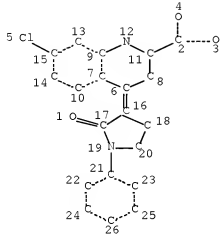



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1521 REFERENCES IN FILE CA (1907 TO DATE)
295 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1525 REFERENCES IN FILE CAPLUS (1907 TO DATE)
11 REFERENCES IN FILE CAOLD (PRIOR TO 1967)
ED Entered STN: 16 Nov 1984

RESULTS FROM REGISTRY, CAPLUS, AND USPATFULL – for Compound I, Claim 5

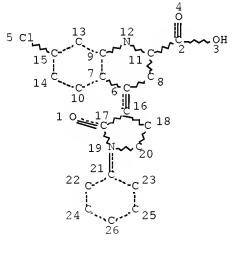
=> d que stat l21
L8 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE
L10 17 SEA FILE=REGISTRY SSS FUL L8
L11 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

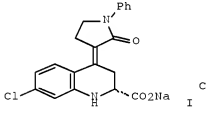
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 26

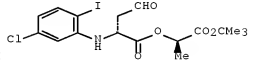
STEREO ATTRIBUTES: NONE
L13 17 SEA FILE=REGISTRY SSS FUL L11
L14 7 SEA FILE=HCAPLUS ABB=ON L10 OR L13
L20 5 SEA FILE=USPATFULL ABB=ON L10 OR L13
L21 12 DUP REMOV L14 L20 (0 DUPLICATES REMOVED)

=> d ibib abs hitstr l21 1-12

L21 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:188011 HCAPLUS Full-text
DOCUMENT NUMBER: 146:421818
TITLE: Chiral tetrahydroquinoline derivatives as potent anti-hyperalgesic agents in animal models of sustained inflammation and chronic neuropathic pain
AUTHOR(S): Di Fabio, Romano; Alvaro, Giuseppe; Bertani, Barbara; Donati, Daniele; Pizzi, Domenica Maria; Gentile, Gabriella; Pentassuglia, Giorgio; Giacobbe, Simone; Spada, Simone; Ratti, Emiliangelo; Corsi, Mauro; Quartaroli, Mauro; Barnaby, Robert J.; Vitulli, Giovanni
CORPORATE SOURCE: GlaxoSmithKline Medicines Research Centre, Verona, 37135, Italy
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(5), 1176-1180
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:421818
GI



I



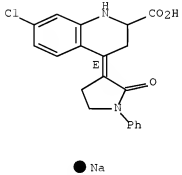
II

AB Chiral tetrahydroquinoline I was prepared via an asym. Mannich-type condensation reaction using com. available vinyl silyl ether and a N-arylimino R-(+)-t-Bu lactate ester in the presence of a catalytic amount of metal triflates as Lewis acids. This synthetic approach gave rise to the target aldehyde intermediate II in moderate facial diastereoselectivity and in high chemical yield. This efficient route enabled to scale up the synthesis of an orally bioavailable glycine antagonist showing outstanding in vivo anti-hyperalgesic activity in different animal models of sustained inflammation and chronic neuropathic pain.
IT 934396-49-3P 934396-52-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)
(preparation and [3H]glycine-binding studies of heterocyclidene-substituted racemic tetrahydroquinolinecarboxylates)

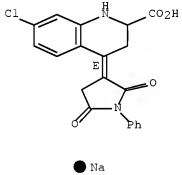
RN 934396-49-3 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1), (4E)- (CA INDEX NAME)

Double bond geometry as shown.




RN 934396-52-8 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-4-(2,5-dioxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-, sodium salt (1:1), (4E)- (CA INDEX NAME)

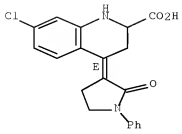
Double bond geometry as shown.




IT 934396-68-6DP, ester 934396-70-0DP, ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and [3H]glycine-binding studies of heterocyclidene-substituted racemic tetrahydroquinolinecarboxylates)

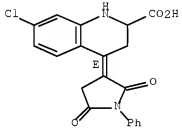
RN 934396-68-6 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (4E)- (CA INDEX NAME)

Double bond geometry as shown.



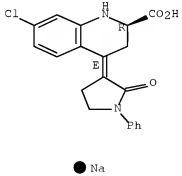
RN 934396-70-0 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-4-(2,5-dioxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-, (4E)- (CA INDEX NAME)

Double bond geometry as shown.



IT 476689-76-6P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. evaluation of chiral (oxopyrrolidinylidene)tetrahydro quinoline as potent anti-hyperalgesic agent in animal models of sustained inflammation and chronic neuropathic pain)
RN 476689-76-6 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1), (2R,4E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:517400 HCAPLUS Full-text
DOCUMENT NUMBER: 143:59840
TITLE: Preparation of tetrahydroquinoline derivative for treating nicotine craving
INVENTOR(S): Chiamulera, Cristiano; Reggiani, Angelo; Trist, David Gordon; Teneggi, Vincenzo
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005053693 | A1 | 20050616 | WO 2004-EP13666 | 20041130 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1689399 | A1 | 20060816 | EP 2004-819644 | 20041130 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS | | | | |
| JP 2007513111 | T | 20070524 | JP 2006-541886 | 20041130 |
| PRIORITY APPLN. INFO.: | | | GB 2003-27912 A | 20031202 |
| | | | WO 2004-EP13666 W | 20041130 |

OTHER SOURCE(S): CASREACT 143:59840
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compound I and pharmaceutically acceptable salts thereof were prepared Pd-catalyzed intramol. cyclization of compound II, e.g., prepared from 5-chloro-2-iodoaniline·HCl in a multistep process, followed by hydrolysis using aqueous NaOH and treatment with meglumine afforded compound I·meglumine salt. The average craving factor 2's (wherein factor 2 refers to anticipation of relief from neg. affects of abstinence) during abstinence with compound I·meglumine salt and placebo administration were 3.6 and 4.0, resp. Compound I is claimed useful for the treatment of nicotine craving.

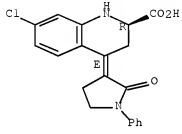
IT 476689-78-8P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinoline derivative for treating nicotine

craving)
RN 476689-78-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (2R,4E)-7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-2-quinolinecarboxylate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 476689-77-7
CMF C20 H17 Cl N2 O3

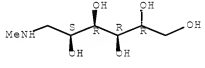
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



CM 2

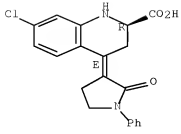
CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.



IT 476689-77-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
RN 476689-77-7 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (2R,4E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 12 USPATFULL on STN
ACCESSION NUMBER: 2004:209879 USPATFULL Full-text
TITLE: Heterocyclic derivatives
INVENTOR(S): Orlandi, Alessandra, Lainate, ITALY

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 20040162313 | A1 | 20040819 |
| | US 6943176 | B2 | 20050913 |
| APPLICATION INFO.: | US 2004-775709 | A1 | 20040210 (10) |

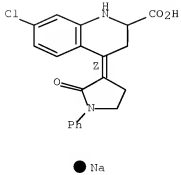
RELATED APPLN. INFO.: Continuation of Ser. No. US 2002-148434, filed on 29 May 2002, GRANTED, Pat. No. US 6713491 A 371 of International Ser. No. WO 2000-EP12335, filed on 7 Dec 2000, UNKNOWN

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | GB 1999-29037 | 19991208 |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DAVID J LEVY, CORPORATE INTELLECTUAL PROPERTY, GLAXOSMITHKLINE, FIVE MOORE DR., PO BOX 13398, RESEARCH TRIANGLE PARK, NC, 27709-3398
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 605
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to methods for the treatment of epilepsy and irritable bowel syndrome.

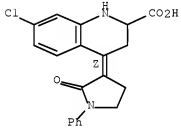
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 252349-09-0
(salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-2-quinolinecarboxylic acid)
RN 252349-09-0 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1), (4Z)-(-)- (CA INDEX NAME)

Rotation (-).
Double bond geometry as shown.



IT 252349-15-8P
(salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-2-quinolinecarboxylic acid)
RN 252349-15-8 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (4Z)-(-)- (CA INDEX NAME)

Rotation (-).
Double bond geometry as shown.

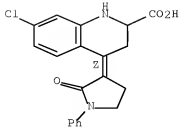


IT 344436-92-6P
(salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-2-quinolinecarboxylic acid)
RN 344436-92-6 USPATFULL
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (4Z)-(-)-7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-2-quinolinecarboxylate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 252349-15-8
CMF C20 H17 Cl N2 O3

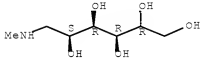
Rotation (-).
Double bond geometry as shown.



CM 2

CRN 6284-40-8
CMF C7 H17 N O5
CDES *

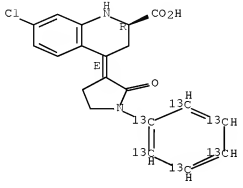
Absolute stereochemistry.



L21 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:859418 HCAPLUS Full-text
DOCUMENT NUMBER: 143:115424
TITLE: Synthesis of radio and stable labeled derivatives of novel glycine antagonists
AUTHOR(S): Almi, Mario
CORPORATE SOURCE: Chemical Development Department, GlaxoSmithKline S.p.A., Verona, 37135, Italy
SOURCE: Synthesis and Applications of Isotopically Labelled Compounds, Proceedings of the International Symposium, 8th, Boston, MA, United States, June 1-5, 2003 (2004), Meeting Date 2003, 215-218. Editor(s): Dean, Dennis C.; Filer, Crist N.; McCarthy, Keith E. John Wiley & Sons Ltd.: Chichester, UK.
CODEN: 69FZAZ; ISBN: 0-470-86365-X
DOCUMENT TYPE: Conference
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:115424
AB Three novel glycine antagonists (two indole-2-carboxylates and one tetrahydroquinoline) of the N-methyl-D-aspartate (NMDA) receptor were successfully labeled with radio and stable isotopes. Efficient, high-yielding synthetic routes were adopted, taking maximum advantage from chemical aspects common to the three projects. The synthesized labeled derivs. were required for both in-vitro and in-vivo studies.
IT 857139-23-2P 857139-33-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of radio and stable labeled indolecarboxylates or tetrahydroquinoline derivative as novel glycine antagonists of the NMDA receptor)
RN 857139-23-2 HCAPLUS

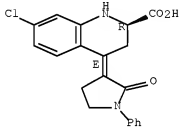
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-[2-oxo-1-(phenyl-13C6)-3-pyrrolidinylidene]-, (2R,4E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 857139-33-4 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, labeled with carbon-14, (2R,4E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 5 OF 12 USPATFULL on STN
ACCESSION NUMBER: 2003:11195 USPATFULL Full-text
TITLE: Heterocyclic derivatives
INVENTOR(S): Orlandi, Alessandra, Lainate, ITALY

| | NUMBER | KIND | DATE | |
|---|---|---|---|---|
| PATENT INFORMATION: | US 20030008899 | A1 | 20030109 | |
| | US 6713491 | B2 | 20040330 | |
| APPLICATION INFO.: | US 2002-148434 | A1 | 20020529 | (10) |
| | WO 2000-EP12335 | | 20001207 | |

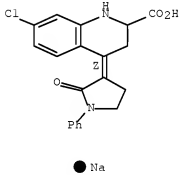
| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | GB 1999-29037 | 19991208 |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DAVID J LEVY, CORPORATE INTELLECTUAL PROPERTY, GLAXOSMITHKLINE, FIVE MOORE DR., PO BOX 13398, RESEARCH TRIANGLE PARK, NC, 27709-3398
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 604
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a novel salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene) 1,2,3,4-tetrahydro-2- quinoline carboxylic acid or a solvate thereof, to processes for its preparation, to pharmaceutical compositions containing it and to its use in therapy and in particularly its use as medicine for antagonising the effects of excitatory amino acids upon the NMDA receptor complex.

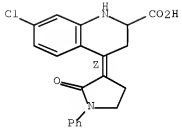
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 252349-09-0
(salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-2-quinolinecarboxylic acid)
RN 252349-09-0 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1), (4Z)-(-)- (CA INDEX NAME)

Rotation (-).
Double bond geometry as shown.




IT 252349-15-8P
(salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-2-quinolinecarboxylic acid)
RN 252349-15-8 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (4Z)-(-)- (CA INDEX NAME)

Rotation (-).
Double bond geometry as shown.

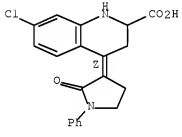


IT 344436-92-6P
(salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-2-quinolinecarboxylic acid)
RN 344436-92-6 USPATFULL
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (4Z)-(-)-7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-2-quinolinecarboxylate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 252349-15-8
CMF C20 H17 Cl N2 O3

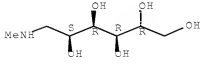
Rotation (-).
Double bond geometry as shown.



CM 2

CRN 6284-40-8
CMF C7 H17 N O5
CDES *

Absolute stereochemistry.



L21 ANSWER 6 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2002:301640 USPATFULL Full-text
TITLE: TETRAHYDROQUINOLINE DERIVATIVES AS GLYCINE ANTAGONISTS
INVENTOR(S): Di Fabio, Romano, Verona, ITALY

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 20020169186 | A1 | 20021114 |
| | US 6495566 | B2 | 20021217 |
| APPLICATION INFO.: | US 2002-145258 | A1 | 20020514 (10) |

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-990513, filed on 16 Nov 2001, GRANTED, Pat. No. US 6413985 Continuation of Ser. No. US 2001-719188, filed on 15 Feb 2001, GRANTED, Pat. No. US 6362199 A 371 of International Ser. No. WO 1999-EP3936, filed on 8 Jun 1999, UNKNOWN

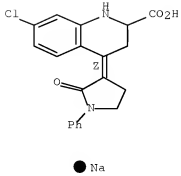
| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | GB 1998-12410 | 19980610 |
| | GB 1998-12408 | 19980610 |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DAVID J LEVY, CORPORATE INTELLECTUAL PROPERTY, GLAXOSMITHKLINE, FIVE MOORE DR., PO BOX 13398, RESEARCH TRIANGLE PARK, NC, 27709-3398
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 2152
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB ##STR1##

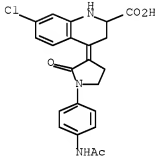
Compounds of formula (I), or a salt or a non toxic metabolically labile esters thereof, wherein Y represents a carbon atom; Z is the group CH which is linked to the group Y via a double bond and X is CH or Z is methylene or NR.sub.11 and X is a carbon atom linked to the group Y via a double bond; A represents a C.sub.1-2alkylene chain and which chain may be substituted by one or two groups selected from C.sub.1-6alkyl optionally substituted by hydroxy, amino, C.sub.1-4alkyl amino or C.sub.1-4dialkyl amino or which chain may be substituted by the group =O; R represents a halogen atom or C.sub.1-4alkyl group; R.sub.1 represents a hydrogen, a halogen atom or C.sub.1-4alkyl group, R.sub.2 represents optionally substituted phenyl, a 5 membered heteroaryl group containing 1 to 3 heteroatoms selected from oxygen, sulphur and nitrogen or 6 membered heteroaryl group containing 1 to 3 nitrogen atoms, processes for their preparation and their use as glycine antagonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 252349-09-0P 252349-13-6P 252349-14-7P
252349-15-8P 252349-17-0P 252349-25-0P
(preparation of tetrahydroquinoline derivs. as glycine antagonists)
RN 252349-09-0 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1), (4Z)-(-)- (CA INDEX NAME)

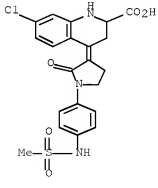
Rotation (-).
Double bond geometry as shown.



RN 252349-13-6 USPATFULL
CN 2-Quinolinecarboxylic acid, 4-[1-[4-(acetylamino)phenyl]-2-oxo-3-pyrrolidinylidene]-7-chloro-1,2,3,4-tetrahydro- (CA INDEX NAME)

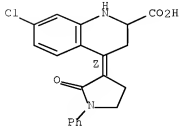


RN 252349-14-7 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-[1-[4-[(methylsulfonyl)amino]phenyl]-2-oxo-3-pyrrolidinylidene]- (CA INDEX NAME)

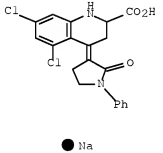


RN 252349-15-8 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (4Z)-(-)- (CA INDEX NAME)

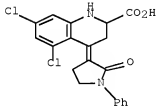
Rotation (-).
Double bond geometry as shown.



RN 252349-17-0 USPATFULL
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1) (CA INDEX NAME)



RN 252349-25-0 USPATFULL
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)- (CA INDEX NAME)



L21 ANSWER 7 OF 12 USPATFULL on STN

| | | | |
|---|---|---|---|
| ACCESSION NUMBER: | 2002:99490 USPATFULL Full-text | | |
| TITLE: | Tetrahydroquinoline derivatives as glycine antagonists | | |
| INVENTOR(S): | Di Fabio, Romano, Verona, ITALY | | |
| | NUMBER | KIND | DATE |
| PATENT INFORMATION: | US 20020052391 | A1 | 20020502 |
| | US 6413985 | B2 | 20020702 |

| | |
|---|---|
| APPLICATION INFO.: | US 2001-990513 A1 20011116 (9) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 2001-719188, filed on 15 Feb 2001, PENDING |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | GB 1998-12410 | 19980610 |
| | GB 1998-12408 | 19980610 |

| | |
|---|---|
| DOCUMENT TYPE: | Utility |
| FILE SEGMENT: | APPLICATION |
| LEGAL REPRESENTATIVE: | DAVID J LEVY, CORPORATE INTELLECTUAL PROPERTY, GLAXOSMITHKLINE, FIVE MOORE DR., PO BOX 13398, DURHAM, NC, 27709-3398 |
| NUMBER OF CLAIMS: | 20 |
| EXEMPLARY CLAIM: | 1 |
| LINE COUNT: | 2158 |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1##

Compounds of formula (I) or a salt, or metabolically labile ester thereof wherein R represents a group selected from halogen, alkyl, alkoxy, amino, alkylamino, dialkylamino, hydroxy, trifluoromethyl, trifluoromethoxy, nitro, cyano, SO.sub.2R.sub.2 or COR.sub.2 wherein R.sub.2 represents hydroxy, methoxy, amino, alkylamino or dialkylamino; m is zero or an integer 1 or 2; R.sub.1 represents a group (CH.sub.2).sub.nCN, -- CH.dbd.CHR.sub.3, (CH.sub.2)nNHCOCH.sub.2R.sub.4 or O(CH.sub.2)pNR.sub.5R.sub.6; R.sub.3 represents cyano or the group COR.sub.7; R.sub.4 represents alkoxy or a group NHCOR.sub.8; R.sub.5 and R.sub.6 each represent independently hydrogen or alkyl, or R.sub.5 and R.sub.6 together with the nitrogen atom to which they are attached represent a heterocyclic group, or R.sub.5 is hydrogen and R.sub.6 is the group COR.sub.9; R.sub.7 represents an alkoxy, amino or hydroxyl group; R.sub.8 represents a hydrogen atom or optionally substituted alkyl, alkoxy, aryl or heterocyclic group; R.sub.9 is the group R.sub.8 or the group NR.sub.10R.sub.11 wherein R.sub.10 represents hydrogen or alkyl group; R.sub.11 represents optionally substituted alkyl, aryl, heterocyclic or cycloalkyl group; n is zero or an integer from 1 to 4; p is an integer from 2 to 4, processes for their preparation and to their use in medicine.

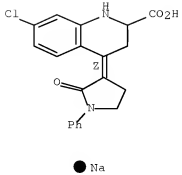
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 252349-09-0P 252349-13-6P 252349-14-7P
252349-15-8P 252349-17-0P 252349-25-0P
(preparation of tetrahydroquinoline derivs. as glycine antagonists)

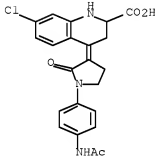
RN 252349-09-0 USPATFULL

CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1), (4Z)-(-)- (CA INDEX NAME)

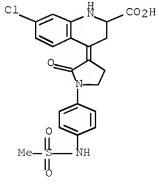
Rotation (-).
Double bond geometry as shown.



RN 252349-13-6 USPATFULL
CN 2-Quinolinecarboxylic acid, 4-[1-[4-(acetylamino)phenyl]-2-oxo-3-pyrrolidinylidene]-7-chloro-1,2,3,4-tetrahydro- (CA INDEX NAME)

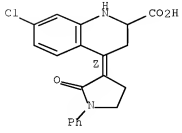


RN 252349-14-7 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-[1-[4-[(methylsulfonyl)amino]phenyl]-2-oxo-3-pyrrolidinylidene]- (CA INDEX NAME)

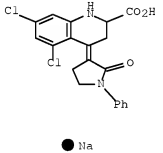


RN 252349-15-8 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (4Z)-(-)- (CA INDEX NAME)

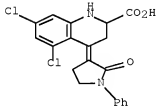
Rotation (-).
Double bond geometry as shown.



RN 252349-17-0 USPATFULL
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1) (CA INDEX NAME)



RN 252349-25-0 USPATFULL
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)- (CA INDEX NAME)



L21 ANSWER 8 OF 12 USPATFULL on STN
ACCESSION NUMBER: 2002:63917 USPATFULL Full-text
TITLE: Tetrahydroquinoline derivatives as glycine antagonists
INVENTOR(S): Di Fabio, Romano, Verona, ITALY
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, Philadelphia, PA, United States (U.S. corporation)

| NUMBER | KIND | DATE |
|---|---|---|

| | | | |
|---|---|---|---|
| PATENT INFORMATION: | US 6362199 | B1 | 20020326 |
| | WO 9964411 | | 19991216 |
| APPLICATION INFO.: | US 2001-719188 | | 20010215 (9) |
| | WO 1999-EP3936 | | 19990608 |
| | | | 20010215 PCT 371 date |

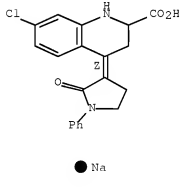
| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | GB 1998-12408 | 19980610 |
| | GB 1998-12410 | 19980610 |

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Seaman, D. Margaret
LEGAL REPRESENTATIVE: Morgan, Lorie Ann
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2080
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides compounds of formula (I) ##STR1##

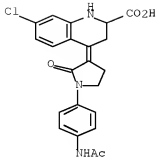
or a salt or a non-toxic metabolically labile ester thereof, processes for their preparation, pharmaceutical compositions containing the same and to their use in medicine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 252349-09-0P 252349-13-6P 252349-14-7P
252349-15-8P 252349-17-0P 252349-25-0P
(preparation of tetrahydroquinoline derivs. as glycine antagonists)
RN 252349-09-0 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1), (4Z)-(-)- (CA INDEX NAME)

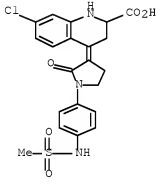
Rotation (-).
Double bond geometry as shown.




RN 252349-13-6 USPATFULL
CN 2-Quinolinecarboxylic acid, 4-[1-[4-(acetylamino)phenyl]-2-oxo-3-pyrrolidinylidene]-7-chloro-1,2,3,4-tetrahydro- (CA INDEX NAME)

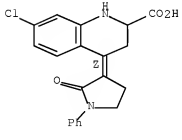


RN 252349-14-7 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-[1-[4-[(methylsulfonyl)amino]phenyl]-2-oxo-3-pyrrolidinylidene]- (CA INDEX NAME)

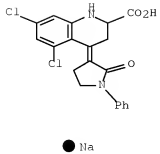


RN 252349-15-8 USPATFULL
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (4Z)-(-)- (CA INDEX NAME)

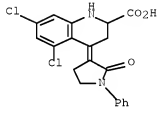
Rotation (-).
Double bond geometry as shown.



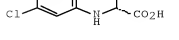
RN 252349-17-0 USPATFULL
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1) (CA INDEX NAME)



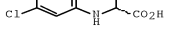
RN 252349-25-0 USPATFULL
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)- (CA INDEX NAME)



L21 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:710135 HCAPLUS Full-text
DOCUMENT NUMBER: 138:4509
TITLE: Novel Stereocontrolled Addition of Allylmetal Reagents to α-Imino Esters: Efficient Synthesis of Chiral Tetrahydroquinoline Derivatives
AUTHOR(S): Di Fabio, Romano; Alvaro, Giuseppe; Bertani, Barbara; Donati, Daniele; Giacobbe, Simone; Marchioro, Carla; Palma, Carlotta; Lynn, Sean M.
CORPORATE SOURCE: Medicines Research Centre, GlaxoSmithKline S.p.A, Verona, 37135, Italy
SOURCE: Journal of Organic Chemistry (2002), 67(21), 7319-7328 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:4509
GI



I



II

AB The sodium salts of tetrahydroquinolines I and II, antagonists of the glycine binding site of the NMDA receptor and potential agents for the treatment of chromic pain, are prepared diastereoselectively and enantioselectively on multigram scale using a stereoselective addition of an allyltrichlorostannane to an imine and a regioselective Heck arylation as the key steps. Chiral imines are prepared from (R)-tert-Bu lactate; the lactate ester acts as an effective chiral auxiliary for the addition of allyltrichlorostannane (prepared in situ from allyltributylstannane and tin tetrachloride) to the imines to provide nonracemic amino esters with the correct stereochem. for conversion to I and II. Ozonolysis of the allyl group and olefination with N-phenyloxodihydropyrrolylidene triphenylphosphorane gives an intermediate which undergoes Heck arylation followed by ester hydrolysis to provide the sodium salts of I and II. The selectivity of the Heck arylation is reversed by changes in the palladium catalyst and solvent; in the presence of palladium tetrakis(triphenylphosphine) and triethylamine in toluene, the exocyclic tetrasubstituted alkene leading to I is formed as the major product in a 96:4 ratio and 90% yield, while when palladium acetate and triethylamine are used as catalysts in DMF, the trisubstituted endocyclic alkene leading to II is prepared as the sole product in 70% yield. The crystal structure of an N-methylglucamine salt of I is determined by X-ray crystallog.

IT 476689-78-8

RL: PRP (Properties)

(crystal structure; stereoselective and enantioselective preparation of tetrahydroquinolines using stereoselective addition of allylmetal reagents to α-imino esters containing lactate chiral auxiliaries and regioselective Heck arylations as key steps)

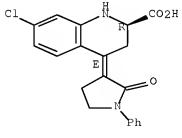
RN 476689-78-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)-, (2R,4E)-7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-2-quinolinecarboxylate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 476689-77-7
CMF C20 H17 Cl N2 O3

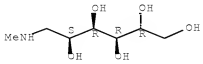
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.




CM 2

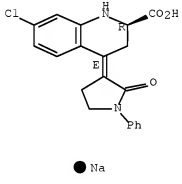
CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.



IT 476689-76-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective and enantioselective preparation of tetrahydroquinolines using stereoselective addition of allylmetal reagents to α-imino esters containing lactate chiral auxiliaries and regioselective Heck arylations as key steps)
RN 476689-76-6 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1), (2R,4E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

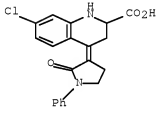
L21 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:554265 HCAPLUS Full-text
DOCUMENT NUMBER: 137:243205
TITLE: Comparison of the computer programs DEREK and TOPKAT to predict bacterial mutagenicity
AUTHOR(S): Cariello, Neal F.; Wilson, John D.; Britt, Ben H.; Wedd, David J.; Burlinson, Brian; Gombar, Vijay
CORPORATE SOURCE: Safety Assessment, GlaxoSmithKline Inc., Research Triangle Park, NC, 27709, USA
SOURCE: Mutagenesis (2002), 17(4), 321-329
CODEN: MUTAEX; ISSN: 0267-8357
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The performance of two computer programs, DEREK and TOPKAT, was examined with regard to predicting the outcome of the Ames bacterial mutagenicity assay. The results of over 400 Ames tests conducted at Glaxo Wellcome (now GlaxoSmithKline) during the last 15 yr on a wide variety of chemical classes

were compared with the mutagenicity predictions of both computer programs. DEREK was considered concordant with the Ames assay if (i) the Ames assay was neg. (not mutagenic) and no structural alerts for mutagenicity were identified or (ii) the Ames assay was pos. (mutagenic) and at least one structural alert was identified. Conversely, the DEREK output was considered discordant if (i) the Ames assay was neg. and any structural alert was identified or (ii) the Ames assay was pos. and no structural alert was identified. The overall concordance of the DEREK program with the Ames results was 65% and the overall discordance was 35%, based on over 400 compds. About 23% of the test mols. were outside the permissible limits of the optimum prediction space of TOPKAT. Another 4% of the compds. were either not processable or had indeterminate mutagenicity predictions; these mols. were excluded from the TOPKAT anal. If the TOPKAT probability was (i) ≥0.7 the mol. was predicted to be mutagenic, (ii) ≤0.3 the compound was predicted to be non-mutagenic and (iii) between 0.3 and 0.7 the prediction was considered indeterminate. From over 300 acceptable predictions, the overall TOPKAT concordance was 73% and the overall discordance was 27%. While the overall concordance of the TOPKAT program was higher than DEREK, TOPKAT fared more poorly than DEREK in the critical Ames-pos. category, where 60% of the compds. were incorrectly predicted by TOPKAT as neg. but were mutagenic in the Ames test. For DEREK, 54% of the Ames-pos. mols. had no structural alerts and were predicted to be non-mutagenic. Alternative methods of analyzing the output of the programs to increase the accuracy with Ames-pos. compds. are discussed.

IT 461053-65-6
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(computer programs DEREK and TOPKAT to predict bacterial mutagenicity)

RN 461053-65-6 HCAPLUS

CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)- (CA INDEX NAME)




REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2008 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2001:435069 HCAPLUS Full-text |
| DOCUMENT NUMBER: | 135:51032 |
| TITLE: | Salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-2-quinolinecarboxylic acid |
| INVENTOR(S): | Orlandi, Alessandra |
| PATENT ASSIGNEE(S): | Glaxo Wellcome S.p.A., Italy |
| SOURCE: | PCT Int. Appl., 25 pp.<br>CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: | 1 |
| PATENT INFORMATION: | |

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2001042238 | A1 | 20010614 | WO 2000-EP12335 | 20001207 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2393303 | A1 | 20010614 | CA 2000-2393303 | 20001207 |
| AU 2001020060 | A | 20010618 | AU 2001-20060 | 20001207 |
| AU 769232 | B2 | 20040122 | | |
| BR 2000016235 | A | 20020827 | BR 2000-16235 | 20001207 |
| EP 1237886 | A1 | 20020911 | EP 2000-983240 | 20001207 |
| EP 1237886 | B1 | 20060419 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| TR 200201503 | T2 | 20021021 | TR 2002-1503 | 20001207 |
| TW 524804 | B | 20030321 | TW 2000-89126096 | 20001207 |
| HU 2002003650 | A2 | 20030328 | HU 2002-3650 | 20001207 |
| HU 2002003650 | A3 | 20040128 | | |
| JP 2003516403 | T | 20030513 | JP 2001-543537 | 20001207 |
| AT 323691 | T | 20060515 | AT 2000-983240 | 20001207 |
| PT 1237886 | T | 20060731 | PT 2000-983240 | 20001207 |
| ES 2257343 | T3 | 20060801 | ES 2000-983240 | 20001207 |
| CN 1325488 | C | 20070711 | CN 2000-816875 | 20001207 |
| US 20030008899 | A1 | 20030109 | US 2002-148434 | 20020529 |
| US 6713491 | B2 | 20040330 | | |
| IN 2002MN00710 | A | 20050304 | IN 2002-MN710 | 20020603 |
| ZA 2002004492 | A | 20040416 | ZA 2002-4492 | 20020605 |
| NO 2002002682 | A | 20020717 | NO 2002-2682 | 20020606 |
| NO 323263 | B1 | 20070219 | | |
| KR 788579 | B1 | 20071226 | KR 2002-707260 | 20020607 |
| MX 2002PA05802 | A | 20040812 | MX 2002-PA5802 | 20020610 |
| HK 1047436 | A1 | 20060721 | HK 2002-109085 | 20021214 |
| US 20040162313 | A1 | 20040819 | US 2004-775709 | 20040210 |
| US 6943176 | B2 | 20050913 | | |

| PRIORITY APPLN. INFO.: | | | |
|---|---|---|---|
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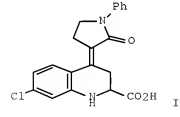
| | WO 2000-EP12335 | W | 20001207 |
| | US 2002-148434 | A1 | 20020529 |

GI




I

AB The present invention relates to a novel salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-2- quinolinecarboxylic

acid (I) or a solvate thereof, to processes for its preparation, to pharmaceutical compns. containing it and to its use in therapy and in particularly its use as medicine for antagonizing the effects of excitatory amino acids upon the NMDA receptor complex. The (-)-meglumine salt of enantiomer A of I was prepared and pharmaceutical formulations containing this salt were prepared

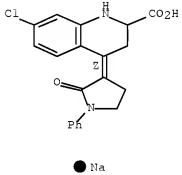
IT 252349-09-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-2-quinolinecarboxylic acid)

RN 252349-09-0 HCAPLUS

CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1), (4Z)-(-)- (CA INDEX NAME)

Rotation (-).
Double bond geometry as shown.



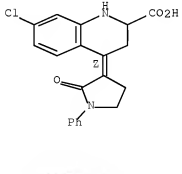

IT 252349-15-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-1,2,3,4-tetrahydro-2-quinolinecarboxylic acid)

RN 252349-15-8 HCAPLUS

CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (4Z)-(-)- (CA INDEX NAME)

Rotation (-).
Double bond geometry as shown.




IT 344436-92-6P

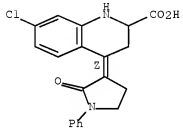
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(salt of enantiomer A of 7-chloro-4-(2-oxo-1-phenyl-3-

pyrrolidinylidene)-1,2,3,4-tetrahydro-2-quinolinecarboxylic acid)
RN 344436-92-6 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (4Z)-(-)-7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-2-quinolinecarboxylate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 252349-15-8
CMF C20 H17 Cl N2 O3

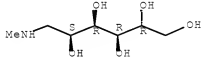
Rotation (-).
Double bond geometry as shown.



CM 2

CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:795804 HCAPLUS Full-text
DOCUMENT NUMBER: 132:35720
TITLE: Preparation of tetrahydroquinoline derivatives as glycine antagonists
INVENTOR(S): Di Fabio, Romano
PATENT ASSIGNEE(S): Glaxo Wellcome S.p.A., Italy
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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| WO 9964411 | A1 | 19991216 | WO 1999-EP3936 | 19990608 |
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| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2334727 | A1 | 19991216 | CA 1999-2334727 | 19990608 |
| AU 9945092 | A | 19991230 | AU 1999-45092 | 19990608 |
| AU 753867 | B2 | 20021031 | | |
| BR 9911145 | A | 20010306 | BR 1999-11145 | 19990608 |
| EP 1086093 | A1 | 20010328 | EP 1999-927911 | 19990608 |
| EP 1086093 | B1 | 20050810 | | |
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| TR 200003652 | T2 | 20010420 | TR 2000-3652 | 19990608 |
| HU 2001002767 | A2 | 20011228 | HU 2001-2767 | 19990608 |
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| EE 200000733 | A | 20020617 | EE 2000-733 | 19990608 |
| JP 2002517492 | T | 20020618 | JP 2000-553420 | 19990608 |
| NZ 508638 | A | 20030829 | NZ 1999-508638 | 19990608 |
| CZ 293605 | B6 | 20040616 | CZ 2000-4587 | 19990608 |
| AT 301650 | T | 20050815 | AT 1999-927911 | 19990608 |
| ES 2249010 | T3 | 20060316 | ES 1999-927911 | 19990608 |
| PL 197160 | B1 | 20080331 | PL 1999-344694 | 19990608 |
| TW 229079 | B | 20050311 | TW 1999-88110159 | 19990617 |
| IN 2000KN00585 | A | 20050311 | IN 2000-KN585 | 20001204 |
| ZA 2000007225 | A | 20020306 | ZA 2000-7225 | 20001206 |
| NO 2000006227 | A | 20010208 | NO 2000-6227 | 20001207 |
| NO 321904 | B1 | 20060717 | | |
| HR 2000000845 | A1 | 20011031 | HR 2000-845 | 20001208 |
| BG 105123 | A | 20011130 | BG 2001-105123 | 20010108 |
| US 6362199 | B1 | 20020326 | US 2001-719188 | 20010215 |
| HK 1034079 | A1 | 20060224 | HK 2001-104579 | 20010703 |
| US 20020052391 | A1 | 20020502 | US 2001-990513 | 20011116 |
| US 6413985 | B2 | 20020702 | | |
| US 20020169186 | A1 | 20021114 | US 2002-145258 | 20020514 |
| US 6495566 | B2 | 20021217 | | |

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|---|---|---|---|
| PRIORITY APPLN. INFO.: | GB 1998-12408 | A | 19980610 |
| | GB 1998-12410 | A | 19980610 |
| | WO 1999-EP3936 | W | 19990608 |
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| | US 2001-990513 | A1 | 20011116 |

OTHER SOURCE(S): MARPAT 132:35720

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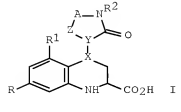


I

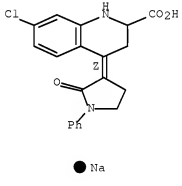
AB The title compds. I [Y represents a carbon atom; Z is the group CH which is linked to the group Y via a double bond and X is CH or Z is methylene or NR11 and X is a carbon atom linked to the group Y via a double bond; A represents a C1-2 alkylene chain and which chain may be substituted by one or two groups selected from C1-6alkyl optionally substituted by hydroxy, amino, C1-4alkyl amino or C1-4dialkyl amino or which chain may be substituted by the group O; R represents a halogen atom or C1-4alkyl group; R1 represents a hydrogen, a halogen atom or C1-4alkyl group; R2 represents optionally substituted Ph, a 5 membered heteroaryl group containing 1 to 3 heteroatoms selected from oxygen, sulfur and nitrogen or 6 membered heteroaryl group containing 1 to 3 nitrogen atoms, processes for their preparation] were prepd as glycine antagonists. E.g., Et 7-chloro-4-(2-oxo-1-(4- acetylamino)phenylpyrrolidin-3-ylidene)-1,2,3,4-tetrahydro-1- quinolinecarboxylate was prepared The affinity of I for the strychnine insensitive glycine binding site was determined The analgesic activity of I in mice was also determined

IT 252349-09-0P 252349-13-6P 252349-14-7P
252349-15-8P 252349-17-0P 252349-25-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinoline derivs. as glycine antagonists)

RN 252349-09-0 HCAPLUS

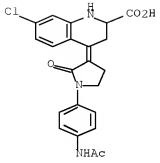
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1), (4Z)-(-)- (CA INDEX NAME)

Rotation (-).
Double bond geometry as shown.

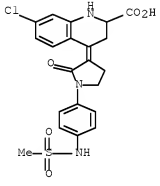



RN 252349-13-6 HCAPLUS

CN 2-Quinolinecarboxylic acid, 4-[1-[4-(acetylamino)phenyl]-2-oxo-3-pyrrolidinylidene]-7-chloro-1,2,3,4-tetrahydro- (CA INDEX NAME)

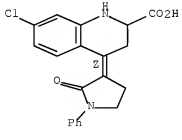


RN 252349-14-7 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-[1-[4-[(methylsulfonyl)amino]phenyl]-2-oxo-3-pyrrolidinylidene]- (CA INDEX NAME)

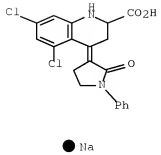


RN 252349-15-8 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (4Z)-(-)- (CA INDEX NAME)

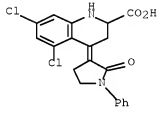
Rotation (-).
Double bond geometry as shown.



RN 252349-17-0 HCAPLUS
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, sodium salt (1:1) (CA INDEX NAME)



RN 252349-25-0 HCAPLUS
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L8                STR
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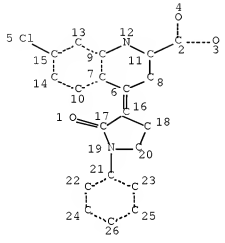

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  26

STEREO ATTRIBUTES: NONE
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L11               STR
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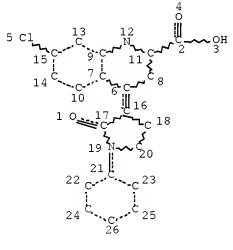

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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  26
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STEREO ATTRIBUTES: NONE
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L14           7 SEA FILE=HCAPLUS ABB=ON  L10 OR L13
L16           1 SEA FILE=REGISTRY ABB=ON  MEGLUMINE/CN
L22        1525 SEA FILE=HCAPLUS ABB=ON  L16
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L26          43 SEA FILE=HCAPLUS ABB=ON  L23 OR L24
L27           1 SEA FILE=HCAPLUS ABB=ON  L22 AND L14
L28          43 SEA FILE=HCAPLUS ABB=ON  L26 OR L27


=> d ibib abs hitstr l28 1-43

L28  ANSWER 1 OF 43  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2008:285938  HCAPLUS  Full-text
DOCUMENT NUMBER:          148:315343
TITLE:                    Lyophilized pharmaceutical preparation containing
                          (2S)-1-(4-amino-2,3,5-trimethylphenoxy)-3-{4-[4-(4-
                          fluorobenzyl)phenyl]-1-piperazinyl}-2-propanol, an
                          antioxidant and β-cyclodextrin derivative
INVENTOR(S):              Ono, Tetsu
PATENT ASSIGNEE(S):       Asubio Pharma Co., Ltd., Japan
SOURCE:                   PCT Int. Appl., 25pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.            KIND   DATE        APPLICATION NO.          DATE
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     WO 2008026765          A2    20080306    WO 2007-JP67244          20070829
     WO 2008026765          A3    20080502
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
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             GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
             MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
             PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.:                        JP 2006-233668      A  20060830
AB    It is provided a lyophilized preparation stably containing (2S)-1-(4-amino-
      2,3,5- trimethylphenoxy)-3-{4-[4-(4-fluorobenzyl)phenyl]-1-piperazinyl}-2-
      propanol or its pharmaceutically acceptable salt as an active ingredient. The
      present invention relates to a lyophilized preparation containing the above
      compound or its pharmaceutically acceptable salt as an active ingredient, and
      further containing: (a) at least one selected from sulfite, bisulfite,
      pyrosulfite, α-thioglycerol and cysteine, and (b) a β-cyclodextrin derivative
      Thus, the stability of the lyophilized preparation, dissolved in 10 mL of
      saline, to give 30 μg/mL concentration of the main drug, was tested upon
      storage under 25°C/1,000 Lx (D65 lamp) exposure and under 25°C/light
      protection, for 6 h and 24 h.  Results showed that stability of a lyophilized
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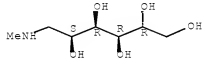
preparation of the present invention was not problematic even in a blend solution, which is obtained by diluting a reconstituted solution at the time of before use preparation

IT 6284-40-8, Meglumine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lyophilized pharmaceutical preparation containing (2S)-1-(4-amino-2,3,5-trimethylphenoxy)-3-{4-[4-(4-fluorobenzyl)phenyl]-1-piperazinyl}-2-propanol, an antioxidant and β-cyclodextrin derivative)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 2 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:124114 HCAPLUS Full-text
DOCUMENT NUMBER: 148:174959
TITLE: Antimicrobial hand wash
INVENTOR(S): Barnhart, Ronald A.; Lerner, David P.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 10pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20080026974 | A1 | 20080131 | US 2006-494473 | 20060727 |
| EP 1886660 | A1 | 20080213 | EP 2007-252834 | 20070717 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |
| AU 2007203322 | A1 | 20080214 | AU 2007-203322 | 20070717 |
| CA 2594270 | A1 | 20080127 | CA 2007-2594270 | 20070723 |
| BR 2007003313 | A | 20080311 | BR 2007-3313 | 20070726 |
| JP 2008056912 | A | 20080313 | JP 2007-195824 | 20070727 |

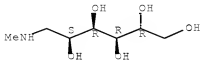
PRIORITY APPLN. INFO.: US 2006-494473 A 20060727

AB An antimicrobial hand wash includes a soap, an antimicrobial agent, and an amine salt. The amine salt increases the antimicrobial efficacy of the hand wash. The amine salt produced by the reaction of monoethanolamine and lactic acid is of particular interest as a soap addition In processes of this invention, it is possible to create the desired amine salt in the soap in situ. A hand wash formulation contained triclosan 0.3, ipropylene glycol 3, monoethanolamine 1.7, lauric acid 5, and water qs to 100 g.

IT 6284-40-8
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimicrobial hand wash)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.

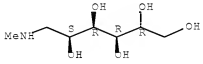


L28 ANSWER 3 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1016569 HCAPLUS Full-text
DOCUMENT NUMBER: 148:503081
TITLE: Novel drug delivery system
INVENTOR(S): Nadkarni, Sunil Sadanand; Vaya, Navin; Karan, Rajesh Singh; Gupta, Vinod Kumar
PATENT ASSIGNEE(S): Torrent Pharmaceuticals Limited, India
SOURCE: Indian Pat. Appl., 80pp., Addn. of Indian Appl. No. 2004MU198.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| IN 2005MU01012 | A | 20070831 | IN 2005-MU1012 | 20050826 |
| PRIORITY APPLN. INFO.: | | | IN 2004-MU198 | A0 20040220 |

AB A novel modified release dosage form comprising of a high solubility active ingredient, which utilizes dual retard technique to effectively reduce the quantity of release controlling agents. Present invention can optionally comprise addnl. another active ingredient as an immediate release form or modified release form. Present invention also relates to a process for preparing the said formulation.
IT 6284-40-8, Meglumine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel drug delivery system)
RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 4 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:769872 HCAPLUS Full-text
DOCUMENT NUMBER: 148:387155
TITLE: Novel dosage form
INVENTOR(S): Nadkarni, Sunil Sadanand; Vaya, Navin; Karan, Rajesh Singh; Gupta, Vinod Kumar
PATENT ASSIGNEE(S): Torrent Pharmaceuticals Limited, India

SOURCE: Indian Pat. Appl., 96pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| IN 2005MU01013 | A | 20070629 | IN 2005-MU1013 | 20050826 |

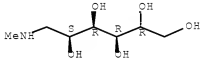
PRIORITY APPLN. INFO.: IN 2005-MU1013 20050826

AB A dosage form comprising of a high-dose, high-solubility active ingredient for modified release and a low-dose active ingredient for immediate release wherein the weight ratio of immediate-release active ingredient and modified-release active ingredient is from 1:10 to 1:15000 and the weight of modified-release active ingredient per unit is from 500 mg to 1500 mg. A process for preparing the dosage form is provided.

IT 6284-40-8, Meglumine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel dosage form containing modified-release and immediate-release active ingredients)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




L28 ANSWER 5 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:542719 HCAPLUS Full-text
DOCUMENT NUMBER: 146:528302
TITLE: Composition of Scutellaria baicalensis extract freeze-dried powder injection and its preparation
INVENTOR(S): Hu, Kai; Ye, Shan
PATENT ASSIGNEE(S): Hangzhou Huadong Medicine Group Biotechnology Institute Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1961888 | A | 20070516 | CN 2005-10061521 | 20051111 |

PRIORITY APPLN. INFO.: CN 2005-10061521 20051111

AB The title freeze-dried powder injection is composed of Scutellaria baicalensis extract(baicalein and/or baicalin of 1:0.6-1.8) 10-30, basic cosolvent 35-70, amide cosolvent 10-40 and antioxidant 1-20%. Basic cosolvent is sodium carbonate, arginine, guanidine carbonate, meglumine; amide cosolvent is nicotinamide, acetylamine, benzamide, N-(2-hydroxy)gentisamide; antioxidant is ascorbic acid, sodium ascorbate, sodium thiosulfate or sodium hydrogen sulfite. The powder injection is prepared by mixing amide cosolvent and

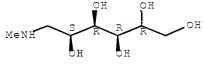
antioxidant, dissolving in deaerated injection water, adding Scutellaria baicalensis extract, stirring to obtain suspension, adding basic cosolvent under stirring, removing pyrogen, filtrating to obtain filter liquor, subpackaging and freeze drying. The powder injection is stable and easy to prepare

IT 6284-40-8, Meglumine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition of Scutellaria baicalensis extract freeze-dried powder injection
and its preparation)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 6 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:250467 HCAPLUS Full-text

DOCUMENT NUMBER: 146:281021

TITLE: pH-controlled iontophoresis apparatus for transdermal administration of drugs, and method for release of drugs using the apparatus

INVENTOR(S): Akiyama, Hideo; Nakayama, Yasuo; Matsumura, Takehiko; Matsumura, Akihiko

PATENT ASSIGNEE(S): Transcutaneous Technologies. Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

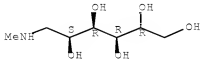
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2007054286 | A | 20070308 | JP 2005-242984 | 20050824 |
| PRIORITY APPLN. INFO.: | | | JP 2005-242984 | 20050824 |

AB The iontophoresis apparatus contains a power supply unit, a 1st electrode structure connected to the power supply unit for release and transdermal administration of ionized drugs by iontophoresis, and a 2nd electrode structure as the counter electrode, wherein the drugs are held in the 1st electrode structure at a pH where the drugs are insol., and the pH at the 1st electrode structure is changed according to the elec. current flowing through the 1st electrode structure for ionization of the drugs. Preferably, the 1st electrode structure consists of an electrode layer, an electrolyte solution-holding layer, an ion-exchange membrane which selects ions of opposite charge to that of ionized drugs, a drug-holding layer, and an ion-exchange membrane which selects ions of the same charge as that of ionized drugs. The drugs are stably held in the apparatus under nonenergized conditions and efficiently released by the passage of elec. current.

IT 6284-40-8, Meglumine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pH-adjusting agent; pH-controlled iontophoresis apparatus for transdermal administration of ionizable drugs)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 7 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:20118 HCAPLUS Full-text
DOCUMENT NUMBER: 146:190436
TITLE: Clofarabine composition for parenteral administration
INVENTOR(S): Niu, Chuanqin; Chen, Qinli
PATENT ASSIGNEE(S): Jinan Bestcomm Pharmaceutical R&D Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1887291 | A | 20070103 | CN 2006-10045474 | 20060712 |

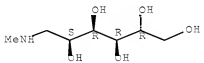
PRIORITY APPLN. INFO.: CN 2006-10045474 20060712

AB The title clofarabine composition comprises clofarabine with clinic ED and medical acceptable auxiliary solvent at a weight rate of 1 : (0.25-40), tween 80, and medical acceptable excipient of mannitol, low mol. weight dextran, lactose, sorbitol, and glycine. The composition has the forms of solution, freeze dried powder or spray dried powder. The auxiliary solvent comprises nicotinamide or meglumine. The clofarabine composition has good solubility and stability, and is easy to product, transport and storage.

IT 6284-40-8, Meglumine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(clofarabine composition for parenteral administration)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 8 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1049515 HCAPLUS Full-text
DOCUMENT NUMBER: 145:425911
TITLE: Method for manufacturing water-soluble medicine containing Hippophae rhamnoides flavonoids for

treating cardiovascular diseases
INVENTOR(S): Liu, Li
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 22pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1839821 | A | 20061004 | CN 2006-10018184 | 20060117 |

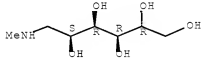
PRIORITY APPLN. INFO.: CN 2006-10018184 20060117

AB The title medicine comprises Hippophae rhamnoides flavonoids and pharmaceutical organic or inorg. base (the weight ratio of Hippophae rhamnoides flavonoids to base is 1:(0.8-100)), or soluble clathrate formed from Hippophae rhamnoides flavonoids and cyclodextrin or cyclodextrin derivs. (the weight ratio of Hippophae rhamnoides flavonoids to cyclodextrin or cyclodextrin derivs. is 1:(1-100)), or soluble preparation formed from Hippophae rhamnoides flavonoids and water with cosolvent including one or more of alc. with low mol. weight, polysorbate, polyvinylpyrrolidone, polyethylene glycol and poloxamer. The amount of Hippophae rhamnoides flavonoids is counted according to isorhamnetin. Hippophae rhamnoids flavonoids can be manufactured into injection solution, infusion, freeze-dried powder injection, and sterilized bottling injection containing pharmaceutical carriers including excipient, pH regulator, antioxidant, stabilizing agent and cosolvent. The title method increases solubility of Hippophae rhamnoides flavonoids, and the medicine has the advantages of stable drug content and good stability under stabilizing and accelerating experiment

IT 6284-40-8, Meglumine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for manufacturing water-soluble medicine containing Hippophae rhamnoides
flavonoids for treating cardiovascular diseases)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




L28 ANSWER 9 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:723231 HCAPLUS Full-text
DOCUMENT NUMBER: 145:314819
TITLE: Preparation and purification process for flunixin meglumine
INVENTOR(S): Yuan, Hongbin; Wu, Na; Wang, Qingjiang
PATENT ASSIGNEE(S): Shandong Lukang Shelile Pharmaceutical Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 5 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1803773 | A | 20060719 | CN 2006-10042348 | 20060124 |

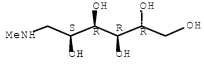
PRIORITY APPLN. INFO.: CN 2006-10042348 20060124
OTHER SOURCE(S): CASREACT 145:314819

AB The title preparation and purification process includes reacting 2-methyl-3-trifluoromethylaniline with 2-chloronicotinic acid at molar ratio of 2:1 in the presence of p-tolurenesulfonic acid monohydrate as catalyst in water, dissolving the reaction mixture in 20% potassium hydroxide solution, cooling to precipitate unreacted 2-methyl-3- trifluoromethylaniline, filtering, decoloring the filtrate with active carbon, filtering, adding concentrated sulfuric acid into the filtrate for crystallizing, centrifuging, recrystg. in methanol, water washing to obtain fine flunixin product, refluxing flunixin fine product with meglumine in isopropanol, decoloring, filtering, crystallizing, and centrifuging to obtain flunixin meglumine crude product, and recrystg. in water to obtain flunixin meglumine fine product with high yield.

IT 6284-40-8, Meglumin
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and purification of flunixin meglumine)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




L28 ANSWER 10 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:231976 HCAPLUS Full-text
DOCUMENT NUMBER: 144:312069
TITLE: Process for the scalable synthesis of 1,3,4,9-tetrahydropyrano[3,4-b]indoles via resolution
INVENTOR(S): Chew, Warren; Cheal, Gloria Karen; Lunetta, Jacqueline Francesca; Demerson, Christopher A.
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 15 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20060058532 | A1 | 20060316 | US 2005-223312 | 20050909 |
| AU 2005285005 | A1 | 20060323 | AU 2005-285005 | 20050909 |
| CA 2573508 | A1 | 20060323 | CA 2005-2573508 | 20050909 |
| WO 2006031770 | A1 | 20060323 | WO 2005-US32484 | 20050909 |

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LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

| | | | | | |
|---|---|---|---|---|---|
| EP 1786772 | A1 | 20070523 | EP 2005-796206 | | 20050909 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | | |
| JP 2008512496 | T | 20080424 | JP 2007-531440 | | 20050909 |
| BR 2005014313 | A | 20080610 | BR 2005-14313 | | 20050909 |
| IN 2007DN01765 | A | 20070817 | IN 2007-DN1765 | | 20070306 |
| MX 200702837 | A | 20070430 | MX 2007-2837 | | 20070308 |
| PRIORITY APPLN. INFO.: | | | US 2004-608995P | P | 20040910 |
| | | | WO 2005-US32484 | W | 20050909 |

OTHER SOURCE(S): CASREACT 144:312069; MARPAT 144:312069

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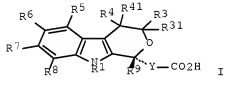


I

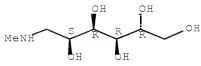
AB Title compds. [I; R1 = H, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, aralkyl; R3, R31 = H; R4, R41 = H, (substituted) alkyl, cycloalkyl, alkenyl, aryl, furylmethyl, aralkyl, alkylaryl, alkynyl; R4R41C = O:C; R5–R8 = H, CO2H, (substituted) alkyl, cycloalkyl, alkenyl, aryl, heterocyclyl, furylmethyl, aralkyl, alkylaryl, alkynyl, phenylalkynyl, alkoxy, alkylthio, CF3, OCF3, etc.; R9 = H, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxyalkyl, aralkyl, alkylaryl, alkylthioalkyl, cycloalkylalkyl, aryl, heterocycloalkyl; Y = bond, CH2, CH2CH2, aryl; YR9 = atoms to form 3–8 membered ring], were prepared by resolution Thus, 5-cyano-8-methyl-1-propyl-1,3,4,9-tetrahydropyrano[3,4- b]indol-1-ylacetic acid (preparation from chloral hydrate, 5-bromo-2- methylaniline, hydroxylamine hydrochloride, tert-Bu acetate, Et butyrylacetate, and CuCN given) was resolved using (+)-cinchonine in EtOH at reflux-room temperature

IT 6284-40-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(resolving agent; scalable synthesis of tetrahydropyranoindoles via resolution)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 11 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:100738 HCAPLUS Full-text
DOCUMENT NUMBER: 144:198849
TITLE: Novel dosage form comprising modified-release and immediate-release active ingredients
INVENTOR(S): Vaya, Navin; Karan, Rajesh Singh; Sadanand, Sunil; Gupta, Vinod Kumar
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 49 pp., Cont.-in-part of U.S. Ser. No. 630,446.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

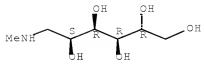
| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 20060024365 | A1 | 20060202 | US 2005-134633 | | 20050519 |
| IN 2002MU00697 | A | 20040529 | IN 2002-MU697 | | 20020805 |
| IN 193042 | A1 | 20040626 | | | |
| IN 2002MU00699 | A | 20040529 | IN 2002-MU699 | | 20020805 |
| IN 2003MU00080 | A | 20050204 | IN 2003-MU80 | | 20030122 |
| IN 2003MU00082 | A | 20050204 | IN 2003-MU82 | | 20030122 |
| US 20040096499 | A1 | 20040520 | US 2003-630446 | | 20030729 |
| PRIORITY APPLN. INFO.: | | | IN 2002-MU697 | A | 20020805 |
| | | | IN 2002-MU699 | A | 20020805 |
| | | | IN 2003-MU80 | A | 20030122 |
| | | | IN 2003-MU82 | A | 20030122 |
| | | | US 2003-630446 | A2 | 20030729 |

AB A dosage form comprising of a high dose, high solubility active ingredient as modified release and a low dose active ingredient as immediate release where the weight ratio of immediate release active ingredient and modified release active ingredient is from 1:10 to 1:15000 and the weight of modified release active ingredient per unit is from 500 mg to 1500 mg; a process for preparing the dosage form. Tablets containing 10 mg sodium pravastatin and 1000 mg niacin were prepared The release of sodium pravastatin after 24 h was 67.7%, and the release of niacin after 1 h was 84.1%.

IT 6284-40-8, Meglumine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel dosage form comprising modified-release and immediate-release active ingredients)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 12 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:39357 HCAPLUS Full-text
DOCUMENT NUMBER: 144:260756
TITLE: Freeze-dried injection containing diisopropylamine dichloroacetate and sodium gluconate
INVENTOR(S): Liu, Li
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 16 pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

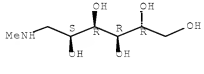
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1628650 | A | 20050622 | CN 2003-10111617 | 20031219 |

PRIORITY APPLN. INFO.: CN 2003-10111617 20031219

AB The title freeze-dried injection is comprised of diisopropylamine dichloroacetate 10-200 mg, sodium gluconate 9.5-200 mg, and no less than one pharmaceutical carrier including excipient, pH regulator, antioxidant, and stabilizer. The freeze-dried injection is manufactured by: (1) dissolving diisopropylamine dichloroacetate and sodium gluconate in the injection water under stirring, (2) adding excipient, antioxidant and stabilizer under stirring, (3) adding activated carbon 0.005-5%, stirring for 10-120 min, (4) filtering with 5-30 μm filter to remove activated carbon, adding injection water, mixing, adjusting pH, (5) subsequently filtering with microporous film of 0.45-0.8 μm and then 0.2-0.22 μm, canning, (6) prefreezing for 0.5-9 h till the temperature is -15 to -700 and pressure is 0.01-200 Pa, and (7) vacuum drying at low temperature for 10-60 h, heating to 600, vacuum drying for 1-24 h, controlling pH value to 2-7 and sealing. The freeze-dried injection is in unit dose form, and has the advantages of easy transportation and storage, improved the stability and quality of drug, and low side effect.

IT 6284-40-8, N-Methyl glucamine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(freeze-dried injections containing diisopropylamine dichloroacetate and sodium gluconate for treatment of liver diseases)
RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 13 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1066839 HCAPLUS Full-text
DOCUMENT NUMBER: 143:410980
TITLE: Preparation and application of injection containing flavonoids from Crataegus pinnatifida
INVENTOR(S): Xu, Xudong
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 19 pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

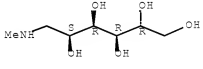
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1559399 | A | 20050105 | CN 2004-10006313 | 20040225 |
| PRIORITY APPLN. INFO.: | | | CN 2004-10006313 | 20040225 |

AB The invention relates to preparation and application of injection containing flavonoids from leaves of Crataegus pinnatifida. Each package of i.v. injection (50-500mL) contains 40-400 mg flavonoids and each package of i.m. injection (5-20 mL) also contains 40-400 mg flavonoids. The injection be used for treating cardiovascular and cerebrovascular diseases such as hypertension, hyperlipidemia, and coronary heart disease. Advantages of this invention include simple process, high stability, quick and reliable therapeutic effects, and high bioavailability.

IT 6284-40-8, Meglumine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and application of injection containing flavonoids from Crataegus pinnatifida)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




L28 ANSWER 14 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:517400 HCAPLUS Full-text
DOCUMENT NUMBER: 143:59840
TITLE: Preparation of tetrahydroquinoline derivative for treating nicotine craving
INVENTOR(S): Chiamulera, Cristiano; Reggiani, Angelo; Trist, David Gordon; Teneggi, Vincenzo
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 17 pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

WO 2005053693 A1 20050616 WO 2004-EP13666 20041130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1689399 A1 20060816 EP 2004-819644 20041130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS
JP 2007513111 T 20070524 JP 2006-541886 20041130
PRIORITY APPLN. INFO.: GB 2003-27912 A 20031202
WO 2004-EP13666 W 20041130
OTHER SOURCE(S): CASREACT 143:59840
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compound I and pharmaceutically acceptable salts thereof were prepared Pd-catalyzed intramol. cyclization of compound II, e.g., prepared from 5-chloro-2-iodoaniline·HCl in a multistep process, followed by hydrolysis using aqueous NaOH and treatment with meglumine afforded compound I·meglumine salt. The average craving factor 2's (wherein factor 2 refers to anticipation of relief from neg. affects of abstinence) during abstinence with compound I·meglumine salt and placebo administration were 3.6 and 4.0, resp. Compound I is claimed useful for the treatment of nicotine craving.

IT 476689-78-8P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)

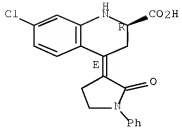
RN 476689-78-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)-, (2R,4E)-7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-2-quinolinecarboxylate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 476689-77-7
CMF C20 H17 Cl N2 O3

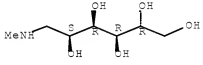
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



CM 2

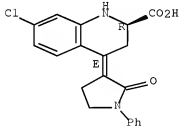
CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.



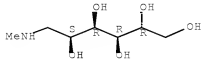
IT 476689-77-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
RN 476689-77-7 HCAPLUS
CN 2-Quinolinecarboxylic acid, 7-chloro-1,2,3,4-tetrahydro-4-(2-oxo-1-phenyl-3-pyrrolidinylidene)-, (2R,4E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



IT 6284-40-8, Meglumine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tetrahydroquinoline derivative for treating nicotine craving)
RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 15 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:451206 HCAPLUS Full-text
DOCUMENT NUMBER: 142:487539
TITLE: Aqueous solution preparation containing aminoglycoside antibiotic and bromfenac
INVENTOR(S): Sawa, Shirou
PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005046700 | A1 | 20050526 | WO 2004-JP16849 | 20041112 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1683526 | A1 | 20060726 | EP 2004-818514 | 20041112 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | | |
| CN 1882349 | A | 20061220 | CN 2004-80033504 | 20041112 |
| IN 2006KN01010 | A | 20070420 | IN 2006-KN1010 | 20060420 |
| US 20070082857 | A1 | 20070412 | US 2006-578359 | 20060606 |
| PRIORITY APPLN. INFO.: | | | JP 2003-384646 A | 20031114 |
| | | | WO 2004-JP16849 W | 20041112 |

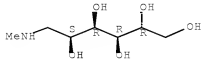
AB Disclosed are stable and clear aqueous solns. comprising aminoglycoside antibiotics or a pharmaceutically acceptable salt thereof and bromfenac being a nonsteroid anti-inflammatory agent or a pharmaceutically acceptable salt thereof. For example, an eyedrop solution contained bromfenac sodium hydrate 0.1, tobramycin 0.3, boric acid 1.4, borax 0.8 g, HCl q.s. to pH 7.8, and distilled water to 100 mL.

IT 6284-40-8, N-Methylglucamine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aqueous solns. containing aminoglycoside antibiotic and bromfenac)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 16 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:369215 HCAPLUS Full-text
DOCUMENT NUMBER: 142:397781
TITLE: Enhancing transdermal administration of hydrophilic drugs by using inorganic hydroxides and nitrogen bases
INVENTOR(S): Hsu, Tsung-Min; Jacobson, Eric; Luo, Eric
PATENT ASSIGNEE(S): Dermatrends, Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005037157 | A1 | 20050428 | WO 2004-US33884 | 20041014 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004281766 | A1 | 20050428 | AU 2004-281766 | 20041014 |
| CA 2542753 | A1 | 20050428 | CA 2004-2542753 | 20041014 |
| US 20050244485 | A1 | 20051103 | US 2004-966836 | 20041014 |
| EP 1682060 | A1 | 20060726 | EP 2004-795093 | 20041014 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| CN 1886105 | A | 20061227 | CN 2004-80035299 | 20041014 |
| JP 2007510628 | T | 20070426 | JP 2006-535647 | 20041014 |
| IN 2006CN01675 | A | 20070629 | IN 2006-CN1675 | 20060512 |
| PRIORITY APPLN. INFO.: | | | US 2003-511171P P | 20031014 |
| | | | WO 2004-US33884 W | 20041014 |

OTHER SOURCE(S): MARPAT 142:397781

AB Methods, formulations, and drug delivery systems are provided for enhancing the flux of a transdermally administered hydrophilic drug using a basic permeation enhancer composition The enhancer composition contains an inorg. hydroxide and a weaker, nitrogenous base, wherein the bases are selected such that a 0.1 M aqueous solution of the nitrogenous base has a pH that is about 1.0 to about 6.5 lower than the pH of a 0.1 M aqueous solution of the inorg. hydroxide. Addnl., the molar ratio of the nitrogenous base to the inorg. hydroxide in the enhancer composition is in the range of about 0.5 n:1 to

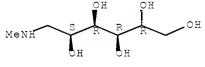
about 20 n:1, where n is the number of hydroxide ions per mol. of the inorg. hydroxide. For example, transdermal solns. contained meloxicam 0.35, hexylene glycol 0.7, triethanolamine 0.35, glycerin 0.50, sodium hydroxide 0.055 g.

IT 6284-40-8, N-Methyl glucamine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transdermal formulations containing inorg. hydroxides and nitrogen bases as permeation enhancers for hydrophilic drugs)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 17 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:244333 HCAPLUS Full-text

DOCUMENT NUMBER: 143:307

TITLE: Atom, atom-type, and total nonstochastic and stochastic quadratic fingerprints: a promising approach for modeling of antibacterial activity

AUTHOR(S): Marrero-Ponce, Yovani; Medina-Marrero, Ricardo; Torrens, Francisco; Martinez, Yamile; Romero-Zaldivar, Vicente; Castro, Eduardo A.

CORPORATE SOURCE: Department of Pharmacy, Faculty of Chemical-Pharmacy, Central University of Las Villas, Santa Clara, 54830, Cuba

SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(8), 2881-2899
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The Topol. Mol. Computer Design (TOMOCOMD-CARDD) approach has been introduced for the classification and design of antimicrobial agents using computer-aided mol. design. For this propose, atom, atom-type, and total quadratic indexes have been generalized to codify chemical structure information. In this sense, stochastic quadratic indexes have been introduced for the description of the mol. structure. These stochastic fingerprints are based on a simple model for the intramol. movement of all valence-bond electrons. In this work, a complete data set containing 1006 antimicrobial agents is collected and presented. Two structure-based antibacterial activity classification models have been generated. The models (including nonstochastic and stochastic indexes) classify correctly more than 90% of 1525 compds. in training sets. These models permit the correct classification of 92.28% and 89.31% of 505 compds. in an external test sets. The approach, also, satisfactorily compares with respect to nine of the most useful models for antimicrobial selection reported to date. Finally, a virtual screening of 87 new compds. reported in the anti-infective field with antibacterial activities is developed showing the ability of the models to identify new leads as antibacterial.

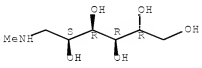
IT 6284-40-8, N-Methylglucamine
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(atom, atom-type, and total nonstochastic and stochastic quadratic fingerprints as promising approach for modeling antibacterial activity)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 91 THERE ARE 91 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 18 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:120912 HCAPLUS Full-text

DOCUMENT NUMBER: 142:218965

TITLE: Preparation of alkynyl aryl carboxamides as protein-tyrosine phosphatase (PTP) inhibitors

INVENTOR(S): Swinnen, Dominique; Gerber, Patrick; Gonzalez, Jerome; Bombrun, Agnes; Jorand-lebrun, Catherine

PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles

SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005012280 | A1 | 20050210 | WO 2004-EP51557 | 20040720 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004261400 | A1 | 20050210 | AU 2004-261400 | 20040720 |
| CA 2527861 | A1 | 20050210 | CA 2004-2527861 | 20040720 |
| EP 1654247 | A1 | 20060510 | EP 2004-766274 | 20040720 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2006528156 | T | 20061214 | JP 2006-520835 | 20040720 |
| US 20070105913 | A1 | 20070510 | US 2006-565538 | 20060123 |
| NO 2006000589 | A | 20060206 | NO 2006-589 | 20060206 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| EP 2003-102235 | A | 20030721 |
| US 2003-517993P | P | 20031106 |
| WO 2004-EP51557 | W | 20040720 |

OTHER SOURCE(S): CASREACT 142:218965; MARPAT 142:218965

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

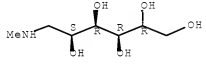
AB Title compds. I [A = alkynyl, alkynylaryl, or alkynylheteroaryl; X = aryl, heteroaryl, cycloalkyl or heterocyclyl; X1 = (un)substituted aryl; n = 0 or 1; R1 and R2 independently = H or alkyl; R3 = alkyl, alkenyl, aryl, etc.; R4 and R5 = H, OH, F, alkyl, carboxy, etc.] and their pharmaceutically acceptable salt are prepared and disclosed as modulators of protein-tyrosine phosphatases (PTP). Thus, e.g., II was prepared via coupling of 4-bromobenzaldehyde with 1-decyne followed by reductive amination with 6-amino-2,2-dimethyl-4H-1,3-benzodioxin-4-one (preparation given), amidation with 3-cyclopentylpropanoyl chloride and deprotection. Tested compds. display an inhibition (IC50 values) with regard to PTP of preferably less than 20μM, more preferred less than 5μM. As PTP inhibitors, I should be useful for the treatment and/or prevention of an inflammatory disorder, obesity and/or metabolic disorders mediated by insulin resistance or hyperglycemia, comprising diabetes type I and/or II, inadequate glucose tolerance. insulin resistance, hyperlipidemia, hypertriglyceridemia- hypercholesterolemia, polycystic ovary syndrome (PCOS).

IT 6284-40-8, N-Methyl-D-glucamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of alkynyl aryl carboxamides as protein-tyrosine phosphatase (PTP) inhibitors)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 19 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:71602 HCAPLUS Full-text

DOCUMENT NUMBER: 142:316675

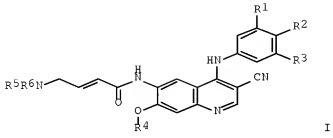
TITLE: Optimization of 6,7-Disubstituted-4-(arylamino)quinoline-3-carbonitriles as Orally Active, Irreversible Inhibitors of Human Epidermal Growth Factor Receptor-2 Kinase Activity

AUTHOR(S): Tsou, Hwei-Ru; Overbeek-Klumpers, Elsebe G.; Hallett, William A.; Reich, Marvin F.; Floyd, M. Brawner; Johnson, Bernard D.; Michalak, Ronald S.; Nilakantan, Ramaswamy; Discafani, Carolyn; Golas, Jonathan; Rabindran, Sridhar K.; Shen, Ru; Shi, Xiaoqing; Wang, Yu-Fen; Upeslacis, Janis; Wissner, Allan

CORPORATE SOURCE: Chemical and Screening Sciences, Chemical Development, and Oncology, Wyeth Research, Pearl River, NY, 10965, USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(4), 1107-1131
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:316675
GI



I

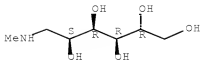
AB A series of new 6,7-disubstituted-4-(arylamino)quinoline-3-carbonitriles, e.g. I (R1 = H, Cl; R2 = PhCH2O, 1-imidazolyl, 2-furylmethoxy, etc.; R3 = Cl, CN, PhCH2O; R4 = Me, Et; R5 = Me, R6 = Me, HOCH2CH2; R5R6N = azetidinyl, piperidinyl, thiomorpholinyl, etc.) that function as irreversible inhibitors of human epidermal growth factor receptor-2 (HER-2) and epidermal growth factor receptor (EGFR) kinases have been prepared These compds. demonstrated enhanced activities for inhibiting HER-2 kinase and the growth of HER-2 pos. cells compared to the EGFR kinase inhibitor I [R1 = H; R2 = F; R3 = Cl; R4 = Et; R5 = R6 = Me; (EKB-569)]. Three synthetic routes were used to prepare these compds. They were prepared mostly by acylation of 6-amino-4-(arylamino)quinoline-3- carbonitriles with unsatd. acid chlorides or by amination of 4-chloro-6-(crotonamido)quinoline-3-carbonitriles with monocyclic or bicyclic anilines. The third route was developed to prepare a key intermediate, 6-acetamido-4-chloroquinoline-3-carbonitrile, that involved a safer cyclization step. It was shown that attaching a large lipophilic group at the para position of the 4-(arylamino) ring results in improved potency for inhibiting HER-2 kinase. The importance of a basic dialkylamino group at the end of the Michael acceptor for activity, due to intramol. catalysis of the Michael addition has also been demonstrated. This, along with improved water solubility, resulted in compds. with enhanced biol. properties. The mol. modeling results consistent with the proposed mechanism of inhibition are presented. Binding studies of one compound, I [R1 = H; R2 = 2-pyridylmethoxy; R3 = Cl; R4 = Et; R5 = R6 = Me; (HKI-272)] (C-14 radiolabeled), showed that it binds irreversibly to HER-2 protein in BT474 cells. Furthermore, it demonstrated excellent oral activity, especially in HER-2 overexpressing xenografts. Compound HKI-272 was selected for further studies and is currently in phase I clin. trials for the treatment of cancer.

IT 6284-40-8, N-Methyl-D-glucamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation; preparation of disubstituted (arylamino)quinolinecarbonitrile
s as orally active, irreversible inhibitors of human epidermal growth factor receptor-2 kinase activity and antitumor agents)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 20 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1009842 HCAPLUS Full-text
DOCUMENT NUMBER: 142:360765
TITLE: Ginkgolide B composite, its preparation and medical application
INVENTOR(S): Xiao, Wei; Dai, Xiangling; Ling, Ya; Li, Minghui; Shang, Qiang; Huang, Guangwei; Bi, Yu'an
PATENT ASSIGNEE(S): Kangyuan Pharmaceutical Co., Ltd., Jiangsu, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 22 pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

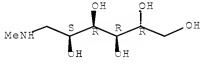
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1472214 | A | 20040204 | CN 2003-132146 | 20030627 |
| PRIORITY APPLN. INFO.: | | | CN 2003-132146 | 20030627 |

AB The ginkgolide B composite with lysine, arginine, and meglumine is prepared by the reaction of ginkgolide B with lysine (arginine, meglumine, or other C1-8 N-containing organic substance) (at the molar ratio of 1:0.6-2.5) in water and/or ethanol. The ginkgolide B composite may be used to prepare the medical prepns. (such as tablet, capsule, spray, granule, drop pill, oral solution, injection, etc.) for treating ischemic stroke.

IT 6284-40-8, Meglumine
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(Ginkgolide B composite, its preparation and medical application)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

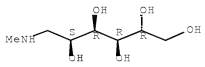
Absolute stereochemistry.



IT 6284-40-8DP, Meglumine, compound with Ginkgolide B
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Ginkgolide B composite, its preparation and medical application)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



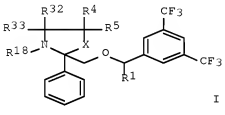
L28 ANSWER 21 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:491186 HCAPLUS Full-text
DOCUMENT NUMBER: 139:69145
TITLE: Preparation of pyrrolidine and piperidine derivatives for therapeutic use as neurokinin 1 (NK1) receptor antagonists
INVENTOR(S): Paliwal, Sunil; Reichard, Gregory A.; Wang, Cheng; Xiao, Dong; Tsui, Hon-Chung; Shih, Neng-Yang; Arredondo, Juan D.; Wrobleski, Michelle Laci; Palani, Anandan
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003051840 | A1 | 20030626 | WO 2002-US40203 | 20021217 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2470476 | A1 | 20030626 | CA 2002-2470476 | 20021217 |
| AU 2002357264 | A1 | 20030630 | AU 2002-357264 | 20021217 |
| AU 2002357264 | B2 | 20060824 | | |
| US 20030158173 | A1 | 20030821 | US 2002-321687 | 20021217 |
| US 7049320 | B2 | 20060523 | | |
| EP 1463716 | A1 | 20041006 | EP 2002-805167 | 20021217 |
| EP 1463716 | B1 | 20080213 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| BR 2002015158 | A | 20041019 | BR 2002-15158 | 20021217 |
| HU 2004002679 | A2 | 20050329 | HU 2004-2679 | 20021217 |
| CN 1606545 | A | 20050413 | CN 2002-825561 | 20021217 |
| JP 2005513068 | T | 20050512 | JP 2003-552727 | 20021217 |
| NZ 532975 | A | 20070223 | NZ 2002-532975 | 20021217 |
| EP 1882686 | A2 | 20080130 | EP 2007-118674 | 20021217 |
| EP 1882686 | A3 | 20080430 | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, MK | | | | |
| AT 386023 | T | 20080315 | AT 2002-805167 | 20021217 |

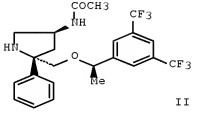
| | | | | | |
|---|---|---|---|---|---|
| ES 2299637 | T3 | 20080601 | ES 2002-805167 | | 20021217 |
| RU 2326120 | C2 | 20080610 | RU 2004-122109 | | 20021217 |
| NZ 551997 | A | 20080731 | NZ 2002-551997 | | 20021217 |
| ZA 2004004583 | A | 20060222 | ZA 2004-4583 | | 20040609 |
| IN 2004CN01329 | A | 20070330 | IN 2004-CN1329 | | 20040615 |
| MX 2004PA05910 | A | 20040913 | MX 2004-PA5910 | | 20040617 |
| NO 2004003041 | A | 20040716 | NO 2004-3041 | | 20040716 |
| HK 1065036 | A1 | 20080718 | HK 2004-107784 | | 20041009 |
| US 20060199815 | A1 | 20060907 | US 2006-358827 | | 20060221 |
| PRIORITY APPLN. INFO.: | | | US 2001-341452P | P | 20011218 |
| | | | EP 2002-805167 | A3 | 20021217 |
| | | | NZ 2002-532975 | A3 | 20021217 |
| | | | US 2002-321687 | A3 | 20021217 |
| | | | WO 2002-US40203 | W | 20021217 |

OTHER SOURCE(S): MARPAT 139:69145

GI



I



II

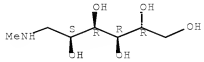
AB Pyrrolidine and piperidine derivs., such as I [X = (CR6R7)n; n = 1, 2; R1 = H, alkyl, etc.; R4, R5, R6, R7,R32, R33 = H or radical, such as amino, alkyl, alkoxy, acyl, or heterocyclyl; R4R5 = :O, oxime, spiro bonded nitrogen containing ring, etc.], were prepared for use in pharmaceutical compns. as NK1 receptor antagonists. These pyrrolidine and piperidine derivs. are intended for use in the treatment of a number of disorders, including emesis, depression, anxiety, respiratory disease, cough, inflammatory disease, skin disorder, ophthalmalogical disorder, depression, anxiety, phobia, bipolar disorder, alc. dependence, psychoactive substance abuse, epilepsy, nociception, psychosis, schizophrenia, Alzheimer's disease, AIDS related dementia, Towne's disease, stress related disorder, obsessive/compulsive disorder, bulimia, anorexia nervosa, binge eating, mania, premenstrual syndrome, gastrointestinal disorder, atherosclerosis, fibrosing disorder, obesity, Type II diabetes, headache, neuropathic pain, postoperative pain, chronic pain syndrome, bladder disorder, genitourinary disorder or migraine. Thus, pyrrolidine derivative II was prepd via a multistep synthetic sequence which began with an alkylation reaction of (2R,4S)-5-oxo-2,4- diphenyl-3-oxazolidinecarboxylic acid phenylmethyl ester with 1-[(1R)-1-(bromomethoxy)ethyl]-3,5-bis(trifluoromethyl)benzene. The prepared pyrrolidine and piperidine derivs. were tested for NK1 receptor binding activity.

IT 6284-40-8, N-Methyl-D-glucamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolidine and piperidine derivs. for therapeutic use as neurokinin 1 (NK1) receptor antagonists)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

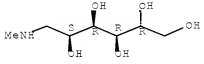
L28 ANSWER 22 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:331563 HCAPLUS Full-text
DOCUMENT NUMBER: 139:32012
TITLE: Predicting the Genotoxicity of Secondary and Aromatic Amines Using Data Subsetting To Generate a Model Ensemble
AUTHOR(S): Mattioni, Brian E.; Kauffman, Gregory W.; Jurs, Peter C.; Custer, Laura L.; Durham, Stephen K.; Pearl, Greg M.
CORPORATE SOURCE: Department of Chemistry, The Pennsylvania State University, University Park, PA, 16802, USA
SOURCE: Journal of Chemical Information and Computer Sciences (2003), 43(3), 949-963
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Binary quant. structure-activity relationship (QSAR) models are developed to classify a data set of 334 aromatic and secondary amine compds. as genotoxic or nongenotoxic based on information calculated solely from chemical structure. Genotoxic endpoints for each compound were determined using the SOS Chromotest in both the presence and absence of an S9 rat liver homogenate. Compds. were considered genotoxic if assay results indicated a pos. genotoxicity hit for either the S9 inactivated or S9 activated assay. Each compound in the data set was encoded through the calcn. of numerical descriptors that describe various aspects of chemical structure (e.g. topol., geometric, electronic, polar surface area). Furthermore, five addnl. descriptors that focused on the secondary and aromatic nitrogen atoms in each mol. were calculated specifically for this study. Descriptor subsets were examined using a genetic algorithm search engine interfaced with a k-Nearest Neighbor fitness evaluator to find the most information-rich subsets, which ultimately served as the final predictive models. Models were chosen for their ability to minimize the total number of misclassifications, with special attention given to those models that possessed fewer occurrences of pos. toxicity hits being misclassified as nontoxic (false negatives). In addition, a subsetting procedure was used to form an ensemble of models using different combinations of compds. in the training and prediction sets. This was done to ensure that consistent results could be obtained regardless of training set composition The procedure also allowed for each compound to be externally validated three times by different training set data with the resultant predictions being used in a "majority rules" voting scheme to produce a consensus prediction for each member of the data set. The individual models produced an average training set classification rate of 71.6% and an average prediction set classification rate of 67.7%. However, the model ensemble was able to correctly classify the genotoxicity of 72.2% of all prediction set compds.

IT 6284-40-8, N-Methylglucamine
RL: ADV (Adverse effect, including toxicity); PRP (Properties); BIOL

(Biological study)
(predicting genotoxicity of secondary and aromatic amines using genetic algorithm search engine for data subsetting to generate model ensembles based on various mol. descriptors)
RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.

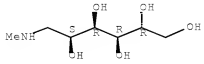



REFERENCE COUNT: 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 23 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:819279 HCAPLUS Full-text
DOCUMENT NUMBER: 138:163857
TITLE: The β subunit determines the ion selectivity of the GABAA receptors
AUTHOR(S): Jensen, Marianne L.; Timmermann, Daniel B.; Johansen, Tina H.; Schousboe, Arne; Varming, Thomas; Ahring, Philip K.
CORPORATE SOURCE: NeuroSearch A/S, Ballerup, DK-2750, Den.
SOURCE: Journal of Biological Chemistry (2002), 277(44), 41438-41447
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The γ-aminobutyric acid, type A (GABAA) receptor is a chloride-conducting receptor composed of α, β, and γ subunits assembled in a pentameric structure forming a central pore. Each subunit has a large extracellular agonist binding domain and four transmembrane domains (M1-M4), with the second transmembrane (M2) domain lining the pore. Mutation of five amino acids in the M1-M2 loop of the β3 subunit to the corresponding amino acids of the α7 nicotinic acetylcholine subunit rendered the GABAA receptor cation-selective upon co-expression with wild type α2 and γ2 subunits. Similar mutations in the α2 or γ2 subunits did not lead to such a change in ion selectivity. This suggests a unique role for the β3 subunit in determining the ion selectivity of the GABAA receptor. The pharmacol. of the mutated GABAA receptor is similar to that of the wild type receptor, with respect to muscimol binding, Zn2+ and bicuculline sensitivity, flumazenil binding, and potentiation of GABA-evoked currents by diazepam. There was, however, an increase in GABA sensitivity (EC50 = 1.3 μM) compared with the wild type receptor (EC50 = 6.4 μM) and a loss of desensitization to GABA of the mutant receptor.
IT 6284-40-8, N-Methyl-D-glucamine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(ion selectivity of GABAA receptor is determined by β-subunit in relation to muscimol and flumazenil binding, Zn2+ and bicuculline sensitivity, potentiation of GABA evoked currents by diazepam and significance of amino acids)
RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 24 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:814138 HCAPLUS Full-text
DOCUMENT NUMBER: 137:325440
TITLE: Preparation of novel tricyclic benzodiazepine carboxamides as tocolytic oxytocin receptor antagonists
INVENTOR(S): Failli, Amedeo Arturo; Shumsky, Jay Scott; Caggiano, Thomas Joseph; Sabatucci, Joseph Peter; Memoli, Kevin Anthony; Trybulski, Eugene John; Sanders, William Jennings
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002083680 | A1 | 20021024 | WO 2002-US11530 | 20020411 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20030018026 | A1 | 20030123 | US 2002-120100 | 20020410 |
| US 6900200 | B2 | 20050531 | | |
| CA 2443805 | A1 | 20021024 | CA 2002-2443805 | 20020411 |
| AU 2002258781 | A1 | 20021028 | AU 2002-258781 | 20020411 |
| EP 1377583 | A1 | 20040107 | EP 2002-728748 | 20020411 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CN 1501931 | A | 20040602 | CN 2002-808036 | 20020411 |
| JP 2004527537 | T | 20040909 | JP 2002-581435 | 20020411 |
| BR 2002009016 | A | 20050111 | BR 2002-9016 | 20020411 |
| MX 2003PA09338 | A | 20041112 | MX 2003-PA9338 | 20031010 |

PRIORITY APPLN. INFO.: US 2001-283261P P 20010412
WO 2002-US11530 W 20020411

OTHER SOURCE(S): MARPAT 137:325440
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

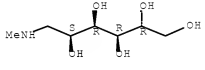
AB The title compds. [I; ring containing Z = II, III (wherein R1, R2 = H, alkyl, halo, etc.); R3 = H, alkyl, alkoxy, etc.; R4 = BC (B = IV, V; C = (un)substituted Ph, 1-naphthyl, 1-pyrrolyl, etc.; A = CH, N; R5-R7 = H, alkyl, alkoxy, etc.)] which act as oxytocin receptor competitive antagonists, and therefore are useful in treatment, inhibition, suppression or prevention of preterm labor, dysmenorrhea and endometritis, suppression of labor at term prior to Caesarian delivery, and to facilitate antinatal transport to a medical facility, were prepared E.g., a 7-step synthesis of VI which showed IC50 of 1.37 nM against human oxytocin receptor binding (CHO cell line), was given. The compds. I are also useful in enhancing fertility rates, enhancing survival rates and synchronizing estrus in farm animals, and may be useful in the prevention and treatment of disfunctions of the oxytocin system in the central nervous system including obsessive compulsive disorder (OCD) and neuropsychiatric disorders.

IT 6284-40-8, N-Methyl-D-glucamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel tricyclic benzodiazepine carboxamides as tocolytic oxytocin receptor antagonists)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 25 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:676121 HCAPLUS Full-text
DOCUMENT NUMBER: 137:224564
TITLE: Cubic liquid crystalline phase precursor
INVENTOR(S): Lynch, Matthew Lawrence; Spicer, Patrick Thomas
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002068561 | A2 | 20020906 | WO 2002-US4838 | 20020220 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| US 20020158226 | A1 | 20021031 | US 2002-56346 | | 20020125 |
| US 6656385 | B2 | 20031202 | | | |
| CA 2434655 | A1 | 20020906 | CA 2002-2434655 | | 20020220 |
| CA 2434655 | C | 20070807 | | | |
| AU 2002242195 | A1 | 20020912 | AU 2002-242195 | | 20020220 |
| AU 2002242195 | B2 | 20060803 | | | |
| EP 1373433 | A1 | 20040102 | EP 2002-707815 | | 20020220 |
| EP 1373433 | B1 | 20080723 | | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

| | | | | | |
|---|---|---|---|---|---|
| CN 1492917 | A | 20040428 | CN 2002-805195 | | 20020220 |
| JP 2004526830 | T | 20040902 | JP 2002-568658 | | 20020220 |
| AT 402241 | T | 20080815 | AT 2002-707815 | | 20020220 |
| MX 2003PA07439 | A | 20031204 | MX 2003-PA7439 | | 20030820 |
| PRIORITY APPLN. INFO.: | | | US 2001-270306P | P | 20010221 |
| | | | WO 2002-US4838 | W | 20020220 |

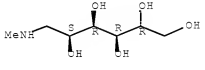
AB Disclosed is a cubic liquid crystalline phase precursor characterized by: (A) a hydrotrope, (B) an amphiphile capable of forming a cubic liquid crystalline phase, (C) an optional solvent, and (D) an additive selected from the group consisting of an anchor, a tether, and combinations thereof, characterized in that (A), (B), (C), and (D) are present in mass fractions relative to each other such that 1.0=a+b+c+d where a, b, c, and d are the mass fractions of (A), (B), (C), and (D), resp., and characterized in that 1.0 > a > 0, 1.0 > b > 0, 1.0 > c > 0, 1.0 > d > 0; and with the proviso that a, b, c, and d do not fall within a cubic liquid crystalline phase region on a phase diagram representing phase behavior of (A), (B), (C), and (D).

IT 6284-40-8D, n-Methylglucamine, alkoxycarbonyl derivs.
RL: NUU (Other use, unclassified); USES (Uses)
(cubic liquid crystalline phase precursor providing amphiphile of)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




L28 ANSWER 26 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2002:657934 HCAPLUS Full-text |
| DOCUMENT NUMBER: | 137:206536 |
| TITLE: | Cubic liquid crystalline compositions and methods for their preparation |
| INVENTOR(S): | Spicer, Patrick Thomas; Small, William Broderick, II; Lynch, Matthew Lawrence |
| PATENT ASSIGNEE(S): | The Procter & Gamble Company, USA |
| SOURCE: | PCT Int. Appl., 37 pp.<br>CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: | 1 |
| PATENT INFORMATION: | |

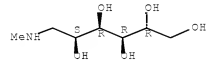
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002066014 | A2 | 20020829 | WO 2002-US4776 | 20020219 |
| WO 2002066014 | A3 | 20030904 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20020160040 | A1 | 20021031 | US 2001-990552 | 20011121 |
| US 7008646 | B2 | 20060307 | | |
| CA 2434647 | A1 | 20020829 | CA 2002-2434647 | 20020219 |
| AU 2002251986 | A1 | 20020904 | AU 2002-251986 | 20020219 |
| AU 2002251986 | B2 | 20061221 | | |
| EP 1361865 | A2 | 20031119 | EP 2002-721031 | 20020219 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004521125 | T | 20040715 | JP 2002-565574 | 20020219 |
| CN 1638735 | A | 20050713 | CN 2002-805147 | 20020219 |
| MX 2003PA07440 | A | 20031204 | MX 2003-PA7440 | 20030820 |
| PRIORITY APPLN. INFO.: | | | US 2001-269953P P | 20010220 |
| | | | US 2001-990552 A | 20011121 |
| | | | WO 2002-US4776 W | 20020219 |

AB A dry powder cubic gel precursor comprising an encapsulating compound, an amphiphile capable of forming a cubic liquid crystalline phase, and optionally a solvent is described. The encapsulating compound (A), amphiphile (B), and optional solvent (C) are present in mass fractions relative to each other such that 1.0 = a + b + c, wherein a is the mass fraction of A, b is the mass fraction of B, and c is the mass fraction of C. Further, 1.0 > a > 0, 1.0 > b > 0, 1.0 > c > 0 and a, b, and c do not fall within a cubic liquid crystalline phase region on a phase diagram representing phase behavior of A, B, and C. A method of making the cubic gel precursor comprises the steps of: (i) dissolving an encapsulating compound in a solvent; (ii) adding an amphiphile; (iii) mixing the encapsulating compound and amphiphile, wherein steps (i), (ii), and (iii) are performed in any order; (iv) atomizing the mixture obtained; and, (v) drying the mixture For example, an active ingredient (fatty acid solution) was encapsulated in powders made by spray-drying a liquid solution The liquid solution was prepared from a premix of 67% water and 33% starch at 70°. A second solution of 90% monoolein and 10% fatty acid mix (20% omega-3, 80% triglyceride oil) was prepared at 60°. The oil solution was then added to the starch-water solution forming a 9% monoolein, 30% starch, 60% water, and 1% fatty acid mixture A high shear mixing system was used to keep the system mixed and maintained above 90°. The mixture was then pumped at a rate of 8 mL/min through the liquid side of a twin-fluid atomizer, with slight adjustments being made to the flow rate to keep the temperature of the exit air in the system between 90-100°. The liquid feed was atomized with air at a pressure of 42.6 psi (293.5 kPa). Upon drying, the powder has a composition of 22.5% monoolein, 75% starch, and 2.5% fatty acid mixture The powder appears to exhibit a bimodal size distribution of larger 10 μm particles and smaller 3-5 μm particles, all of which exhibit the classical shrinkage that is characteristic of starch capsules during their cooling. The uniform appearance of the powders can be an excellent indicator that the fatty acid active is encapsulated within the starch shells.

IT 6284-40-8D, N-Methylglucamine, alkoxycarbonyl derivs.

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of powders as precursors of cubic liquid crystalline gel particles)
RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



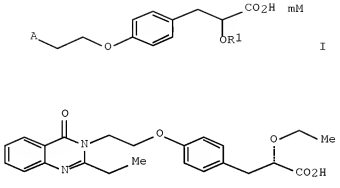

L28 ANSWER 27 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:615616 HCAPLUS Full-text
DOCUMENT NUMBER: 137:169540
TITLE: Preparation of pharmaceutically acceptable salts of nitrogen-containing heterocyclic compounds as remedies for type II diabetes and disorders related to Syndrome X and endothelial cell activation
INVENTOR(S): Gaddam, Om Reddy; Batchu, Chandrasekhar; Potlapally, Rajender Kumar; Mamillapalli, Ramabhadra Sarma; Paraselli, Bheema Rao; Mamidi, Naga Venkata Srinivasa Rao
PATENT ASSIGNEE(S): Reddy's Research Foundation, India
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002062798 | A2 | 20020815 | WO 2002-IB312 | 20020205 |
| WO 2002062798 | A3 | 20021205 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| IN 2001MA00813 | A | 20050304 | IN 2001-MA813 | 20011004 |
| US 20020169175 | A1 | 20021114 | US 2002-67094 | 20020204 |
| CA 2436738 | A1 | 20020815 | CA 2002-2436738 | 20020205 |
| AU 2002230022 | A1 | 20020819 | AU 2002-230022 | 20020205 |
| EP 1363913 | A2 | 20031126 | EP 2002-711124 | 20020205 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004520393 | T | 20040708 | JP 2002-563151 | 20020205 |

PRIORITY APPLN. INFO.:
US 2001-266595P P 20010205
IN 2001-MA813 A 20011004
WO 2002-IB312 W 20020205

OTHER SOURCE(S): CASREACT 137:169540; MARPAT 137:169540

GI



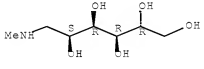
AB Title compds. [I; A = (un)substituted-4-xo-3,4-dihydroquinazolin-3-yl, (un)substituted-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-6-yl, (un)substituted-6-oxo-1,6-dihydropyrimidin-1-yl; R1 = H, alkyl, aryl; m = 1, 1/2; M = K, Mg, Ca, Li, L-arginine, etc.] are prepared Title compds. I, derivs., analogs, tautomeric forms, stereoisomers, polymorphs, pharmaceutically acceptable solvates, and pharmaceutically acceptable compns. containing an HMG CoA reductase inhibitor, cholestipol, nicotinic acid, etc. are claimed for the treatment of type II diabetes and complications and disorders related to Syndrome X and endothelial cell activation. Thus, the title compound (-)-II·L- arginine was prepared from [(2S)-N(1S)]-2-ethoxy-3-[4-[2-(2-ethyl-4-oxo-3,4- dihydroquinazolin-3-yl)ethoxy]phenyl]-2-ethoxypropanoic acid-N-(2-hydroxy-1-phenylethyl)-propanamide and L-arginine and was in vivo tested for blood glucose and triglycerides lowering activity.

IT 6284-40-8, N-Methylglucamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of pharmaceutically acceptable salts of nitrogen-containing heterocyclic compds. as remedies for type II diabetes and disorders related to Syndrome X and endothelial cell activation)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 28 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:31287 HCAPLUS Full-text
DOCUMENT NUMBER: 134:105670
TITLE: Pharmaceutical and cosmetic compositions containing oligosaccharide aldonic acids and their topical use
INVENTOR(S): Yu, Ruey J.; Van Scott, Eugene J.
PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001001932 | A2 | 20010111 | WO 2000-US16301 | 20000628 |
| WO 2001001932 | A3 | 20010517 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6335023 | B1 | 20020101 | US 2000-487228 | 20000119 |
| CA 2373852 | A1 | 20010111 | CA 2000-2373852 | 20000628 |
| BR 2000011640 | A | 20020514 | BR 2000-11640 | 20000628 |
| EP 1227820 | A2 | 20020807 | EP 2000-950220 | 20000628 |
| EP 1227820 | B1 | 20060419 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| JP 2003503436 | T | 20030128 | JP 2001-507430 | 20000628 |
| AU 775620 | B2 | 20040805 | AU 2000-63353 | 20000628 |
| CN 1635864 | A | 20050706 | CN 2000-809776 | 20000628 |
| AT 323498 | T | 20060515 | AT 2000-950220 | 20000628 |
| EP 1685843 | A1 | 20060802 | EP 2006-6895 | 20000628 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| PT 1227820 | T | 20060831 | PT 2000-950220 | 20000628 |
| ES 2262529 | T3 | 20061201 | ES 2000-950220 | 20000628 |
| US 20020028227 | A1 | 20020307 | US 2001-987023 | 20011113 |
| US 6740327 | B2 | 20040525 | | |
| MX 2001PA13042 | A | 20030820 | MX 2001-PA13042 | 20011217 |
| HK 1048764 | A1 | 20060915 | HK 2003-100874 | 20030206 |
| US 20040180854 | A1 | 20040916 | US 2004-811998 | 20040330 |
| AU 2004212601 | A1 | 20041014 | AU 2004-212601 | 20040920 |
| AU 2004212601 | B2 | 20070614 | | |
| JP 2005232180 | A | 20050902 | JP 2005-74658 | 20050316 |
| US 20080090772 | A1 | 20080417 | US 2007-872459 | 20071015 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1999-141264P | P | 19990630 |
| US 2000-487228 | A | 20000119 |
| AU 2000-63353 | A | 20000628 |
| EP 2000-950220 | A3 | 20000628 |
| JP 2001-507430 | A3 | 20000628 |
| WO 2000-US16301 | W | 20000628 |
| US 2001-987023 | A1 | 20011113 |
| US 2004-811998 | A3 | 20040330 |

OTHER SOURCE(S): MARPAT 134:105670

AB Compns. comprising oligosaccharide aldonic acids are useful for general care, as well as for treatment and prevention, of various cosmetic conditions and dermatol. disorders, including those associated with intrinsic and/or extrinsic aging, as well as with changes or damage caused by extrinsic factors; general care, as well as treatment and prevention of diseases and conditions, of the oral, and vaginal mucosa; for general oral care, as well as

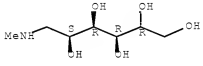
treatment and prevention of oral and gum diseases; and for wound healing of the skin. Compns. comprising oligosaccharide aldonic acids may further comprise a cosmetic, pharmaceutical or other topical agent to enhance or create synergetic effects. A cream was prepared by mixing 50 g of 50% maltobionic acid with 50 g oil-in-water base, pH = 1.7. Efficacy of topical maltobionic acid in treatment of dry skin is reported.

IT 6284-40-8, N-Methylglucamine
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical and cosmetic compns. containing oligosaccharide aldonic acids and their topical use)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




L28 ANSWER 29 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:259972 HCAPLUS Full-text

DOCUMENT NUMBER: 132:293042

TITLE: Encapsulation of sensitive liquid components into a matrix to obtain discrete shelf-stable particles

INVENTOR(S): Van Lengerich, Bernhard H.

PATENT ASSIGNEE(S): General Mills, Inc., USA

SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000021504 | A1 | 20000420 | WO 1999-US20905 | 19991006 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 7201923 | B1 | 20070410 | US 1999-233443 | 19990120 |
| EP 1900283 | A2 | 20080319 | EP 2007-24107 | 19990323 |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, LT, LV, RO, SI | | | | |
| CA 2345815 | A1 | 20000420 | CA 1999-2345815 | 19991006 |
| AU 9963872 | A | 20000501 | AU 1999-63872 | 19991006 |
| AU 777977 | B2 | 20041104 | | |
| EP 1119345 | A1 | 20010801 | EP 1999-951433 | 19991006 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002527375 | T | 20020827 | JP 2000-575480 | 19991006 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1998-103700P | P | 19981009 |
| US 1998-109696P | P | 19981124 |
| US 1999-233443 | A | 19990120 |
| US 1998-79060P | P | 19980323 |
| EP 1999-912231 | A3 | 19990323 |
| WO 1999-US20905 | W | 19991006 |

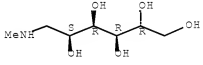
AB A liquid encapsulant component which contains an active, sensitive encapsulant, such as a live microorganism or an enzyme dissolved or dispersed in a liquid plasticizer is admixed with a plasticizable matrix material. The matrix material is plasticizable by the liquid plasticizer and the encapsulation of the active encapsulant is accomplished at a low temperature and under low shear conditions. The active component is encapsulated and/or embedded in the plasticizable matrix component or material in a continuous process to produce discrete, solid particles. The liquid content of the liquid encapsulant component provides substantially all or completely all of the liquid plasticizer needed to plasticize the matrix component to obtain a formable, extrudable, cuttable, mixture or dough. Removal of liquid plasticizer prior to extrusion is not needed to adjust the viscosity of the mixture for formability. Release of an active component from the matrix may be delayed or controlled over time so that the active component is delivered when and where it is needed to perform its intended function. Controlled release, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant.

IT 6284-40-8, Meglumine
RL: FFD (Food or feed use); BIOL (Biological study); USES (Uses)
(encapsulation of sensitive liquid components into matrix to obtain discrete shelf-stable particles)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 30 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:172599 HCAPLUS Full-text

DOCUMENT NUMBER: 130:213640

TITLE: New pharmaceutical compositions of meloxicam with improved solubility and bioavailability

INVENTOR(S): Struengmann, Andreas; Freudensprung, Brigitte; Klokkers, Karin

PATENT ASSIGNEE(S): Hexal A.-G., Germany

SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9909988 | A1 | 19990304 | WO 1998-EP5456 | 19980827 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2301304 | A1 | 19990304 | CA 1998-2301304 | 19980827 |
| AU 9894374 | A | 19990316 | AU 1998-94374 | 19980827 |
| AU 750125 | B2 | 20020711 | | |
| ZA 9807800 | A | 19990609 | ZA 1998-7800 | 19980827 |
| EP 1007049 | A1 | 20000614 | EP 1998-947467 | 19980827 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| BR 9812018 | A | 20000926 | BR 1998-12018 | 19980827 |
| JP 2001513563 | T | 20010904 | JP 2000-507378 | 19980827 |
| NZ 502990 | A | 20020201 | NZ 1998-502990 | 19980827 |
| US 6284269 | B1 | 20010904 | US 2000-486463 | 20000510 |
| PRIORITY APPLN. INFO.: | | | EP 1997-114816 A | 19970827 |
| | | | WO 1998-EP5456 W | 19980827 |

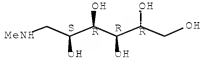
AB Pharmaceutical compns. containing enolic carboxamide type antiinflammatory agent meloxicam that exhibit improved wettability, aqueous solubility, dissoln. behavior over a broad range of pH, and that are prepared by crystal structure modification of the drug through dry or wet mech. homogenization with two further components - one of them is selected from a group of oligo - and dissoln. improving, or alkalizing agent. The application of the formulations according to the present invention results in an improved bioavailability and effectiveness of meloxicam. Thus, 16 g hydroxypropyl β-cyclodextrin was mixed with 1.8 g of meloxicam and the mixture was then further co-milled for 3 h at 25° to reach desired metastable phys. state. A hydrogel formulation contained above powder 100.0, hydroxypropyl Me cellulose 21.0, propylene glycol 2500.0, PEG-7-glyceryl conconate 300.0, iso-Pr alc. 500.0, and water 6385.0 mg.

IT 6284-40-8, Methylglucamine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new pharmaceutical compns. of meloxicam with improved solubility and bioavailability)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 31 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:293427 HCAPLUS Full-text
DOCUMENT NUMBER: 129:8597

ORIGINAL REFERENCE NO.: 129:1853a,1856a
TITLE: Embedding and encapsulation of controlled release particles
INVENTOR(S): Van Lengerich, Bernhard H.
PATENT ASSIGNEE(S): Van Lengerich, Bernhard H., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9818610 | A1 | 19980507 | WO 1997-US18984 | 19971027 |
| W: AU, CA, JP, NO, PL, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2269806 | A1 | 19980507 | CA 1997-2269806 | 19971027 |
| CA 2269806 | C | 20060124 | | |
| AU 9749915 | A | 19980522 | AU 1997-49915 | 19971027 |
| AU 744156 | B2 | 20020214 | | |
| EP 935523 | A1 | 19990818 | EP 1997-912825 | 19971027 |
| EP 935523 | B1 | 20040929 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| JP 2002511777 | T | 20020416 | JP 1998-520558 | 19971027 |
| EP 1342548 | A1 | 20030910 | EP 2003-10031 | 19971027 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| AT 277739 | T | 20041015 | AT 1997-912825 | 19971027 |
| PL 191399 | B1 | 20060531 | PL 1997-333095 | 19971027 |
| NO 9902036 | A | 19990428 | NO 1999-2036 | 19990428 |

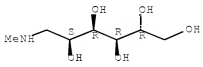
| PRIORITY APPLN. INFO.: | | | |
|---|---|---|---|
| US 1996-29038P | P | 19961028 | |
| US 1997-52717P | P | 19970716 | |
| EP 1997-912825 | A3 | 19971027 | |
| WO 1997-US18984 | W | 19971027 | |

AB Controlled release, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant. A release-rate controlling component is incorporated into the matrix to control the rate of release of the encapsulant from the particles. The addnl. component may be a hydrophobic component or a high water binding capacity component for extending the release time. The plasticizable matrix material, such as starch, is admixed with at least one plasticizer, such as water, and at least one release-rate controlling component under low shear mixing conditions to plasticize the plasticizable material without substantially destroying the at least one plasticizable material and to obtain a substantially homogeneous plasticized mass. The plasticizer content is substantially reduced and the temperature of the plasticized mass is substantially reduced prior to admixing the plasticized mass with the encapsulant to avoid substantial destruction of the encapsulant and to obtain a formable, extrudable mixture The mixture is extruded though a die without substantial or essentially no expansion and cut into discrete, relatively dense particles. Release properties may also be controlled by precoating the encapsulant and/or coating the extruded particles with a film-forming component. An example of encapsulation of acetylcysteine is given using starch, polyethylene, glycerol monostearate, and vegetable oil.

IT 6284-40-8, Meglumine
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic

use); BIOL (Biological study); PROC (Process); USES (Uses)
(embedding and encapsulation of controlled release particles)
RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



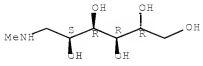
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 32 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:58813 HCAPLUS Full-text
DOCUMENT NUMBER: 128:129292
ORIGINAL REFERENCE NO.: 128:25377a,25380a
TITLE: Ink-jet inks and printing processes at high speed with microwave drying with reduced curling
INVENTOR(S): Malhotra, Shadi L.; Naik, Kirit N.; MacKinnon, David N.; Mayo, James D.; Gagnon, Yvan; Goodbrand, H. Bruce
PATENT ASSIGNEE(S): Xerox Corp., USA
SOURCE: U.S., 34 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5709737 | A | 19980120 | US 1996-603516 | 19960220 |

PRIORITY APPLN. INFO.: US 1996-603516 19960220
OTHER SOURCE(S): MARPAT 128:129292
AB The title inks comprise an aqueous liquid vehicle, a colorant, and an additive selected from sym. acetylenic bisester alcs.; sym. acetylenic bisalkyl alcs. and acetylenic bisalkoxy alcs.; sym. acetylenic bisamido alcs.; sym. bisamido alcs.; mono amido alcs.; trialkylhydroxy compds.; derivs. of 1,2-diols and 1,3-diols; thio diols; aromatic diols; heterocyclic diols; imino alcs.; salts of hydroxyl compds.; saccharides and saccharide derivs.; and mixts. thereof. Cyan, magenta, and yellow ink compns. containing pantothenol [20% pantothenol, 80% stock compns. (preparation given)] were prepared by simple mixing of the ingredients. The inks thus prepared were incorporated into a 300 spots per in. resolution Hewlett Packard 560C inkjet printer and images were generated on paper. All papers yielded hanging curl values of within ±5 mm of 50 min, indicating that when prints are made on paper with ink compns. containing such additive, paper curl was in most cases independent of the particular paper used and the colorant of the ink.
IT 6284-40-8, N-Methyl-D-glucamine
RL: MOA (Modifier or additive use); USES (Uses)
(ink-jet inks and printing processes at high speed with microwave drying with reduced curling)
RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

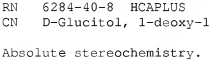
L28 ANSWER 33 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:301820 HCAPLUS Full-text
DOCUMENT NUMBER: 127:198
ORIGINAL REFERENCE NO.: 127:35a,38a
TITLE: Guanidine transport in a human choriocarcinoma cell line (JAR)
AUTHOR(S): Zevin, Shoshana; Schaner, Marci E.; Illsley, Nicholas P.; Giacomini, Kathleen M.
CORPORATE SOURCE: Division of Clinical Pharmacology and Experimental Therapeutics and Department of Biopharmaceutical Sciences, University of California San Francisco, San Francisco, CA, 94143, USA
SOURCE: Pharmaceutical Research (1997), 14(4), 401-405
CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Plenum
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Many endogenous substances and xenobiotics are organic cations. Transplacental transport of organic cations is an important determinant of the delivery of these compds. to the fetus. The aim of this study was to determine the mechanisms of organic cation transport using the human choriocarcinoma cell line (JAR) as a model system with [14C]guanidine as a ligand. Uptake studies of [14]guanidine were carried out in JAR cell monolayers on day 2 after plating. [14C]guanidine uptake was temperature dependent, saturable (Km = 167 μM) and inhibited by many organic cations including amiloride, cimetidine, quinine, quinidine and nicotine . [14C]guanidine uptake exhibited a counterflux phenomenon indicative of a carriermediated process. The uptake of [14C]guanidine was sodium and pH-independent and could be driven by an inside-neg. membrane p.d. This is the first demonstration of an electrogenic guanidine transporter in a human cell culture model. This transporter may play a role in the transplacental transport of many clin. used drugs and xenobiotics.

IT 6284-40-8, N-Methylglucamine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(guanidine transport in a human choriocarcinoma cell line (JAR) line in relation to transport of organic cations by placenta)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 34 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:244371 HCAPLUS Full-text
DOCUMENT NUMBER: 126:229664
ORIGINAL REFERENCE NO.: 126:44331a,44334a
TITLE: Methods for making hardly soluble medicine amorphous
INVENTOR(S): Miyamoto, Misao; Oda, Toshihisa
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan; Miyamoto, Misao; Oda, Toshihisa
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9706781 | A1 | 19970227 | WO 1996-JP2246 | 19960808 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA | | | | |
| TW 487582 | B | 20020521 | TW 1996-85109577 | 19960807 |
| CA 2228907 | A1 | 19970227 | CA 1996-2228907 | 19960808 |
| AU 9666693 | A | 19970312 | AU 1996-66693 | 19960808 |
| AU 702088 | B2 | 19990211 | | |
| EP 852140 | A1 | 19980708 | EP 1996-926600 | 19960808 |
| EP 852140 | B1 | 20031203 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| CN 1192677 | A | 19980909 | CN 1996-196203 | 19960808 |
| CN 1089232 | C | 20020821 | | |
| RU 2167649 | C2 | 20010527 | RU 1998-103876 | 19960808 |
| EP 1356807 | A2 | 20031029 | EP 2003-16608 | 19960808 |
| EP 1356807 | A3 | 20040128 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| AT 255405 | T | 20031215 | AT 1996-926600 | 19960808 |
| CZ 296072 | B6 | 20060111 | CZ 1998-326 | 19960808 |
| US 6462093 | B1 | 20021008 | US 1998-11060 | 19980206 |
| NO 9800549 | A | 19980402 | NO 1998-549 | 19980209 |
| NO 320486 | B1 | 20051212 | | |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| JP 1995-205936 | A | 19950811 |
| JP 1995-310400 | A | 19951129 |
| JP 1995-310401 | A | 19951129 |
| EP 1996-926600 | A3 | 19960808 |
| WO 1996-JP2246 | W | 19960808 |

AB A process for preparing a solid dispersion of a hardly soluble medicine, comprises heating or mechanochem. treating the hardly soluble medicine, an amorphism-inducing agent, and an amorphism stabilizer. These processes make it possible to make hardly soluble medicines amorphous at a temperature lower than those employed in the conventional methods. The solid dispersions of the amorphous hardly soluble medicines thus obtained have an improved mucosal or rectal absorption rate, which makes it possible to elevate their

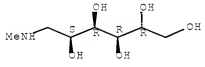
bioavailability. A blend containing nifedipine (m.p. 175°) 10, succinic acid (m.p. 192°) 10, and HPMC-AS 20 g was mixed with 5 g water and subjected to wet granulation and heating to 160° for 1 h. Amorphization of the mixture of nifedipine/succinic acid started at 158°.

IT 6284-40-8, Meglumin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amorphism-inducing agent; amorphization of hardly soluble medicine by heating for improved bioabsorption)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 35 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:729623 HCAPLUS Full-text

DOCUMENT NUMBER: 123:190633

ORIGINAL REFERENCE NO.: 123:33749a,33752a

TITLE: Capillary zone electrophoresis in a comprehensive screen for basic drugs in whole blood

AUTHOR(S): Hudson, J.C.; Golin, M.; Malcolm, M.

CORPORATE SOURCE: Toxicology Section, RCMP Forensic Laboratory, Regina, SK, S4P 3J7, Can.

SOURCE: Journal - Canadian Society of Forensic Science (1995), 28(2), 137-52
CODEN: JCFSBP; ISSN: 0008-5030

PUBLISHER: Canadian Society of Forensic Science

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Capillary zone electrophoresis (CZE) is shown to be capable of detecting a large number of basic drugs at concns. considered to be forensically significant. A procedure for preparing exts. of whole blood for anal. by CZE is presented. Relative migration times are presented for over 400 drugs, analyzed using 100 mmol/L phosphate run buffer of pH 2.5 and pH 9.5.

IT 6284-40-8, Meglumine
RL: ANT (Analyte); ANST (Analytical study)
(capillary zone electrophoresis in a comprehensive screen for basic drugs in whole blood)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.

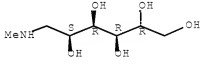
L28 ANSWER 36 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:239087 HCAPLUS Full-text
DOCUMENT NUMBER: 122:13442
ORIGINAL REFERENCE NO.: 122:2781a,2784a
TITLE: Formation of nitrogen oxides from fuel-N through HCN and NH3: a model-compound study
AUTHOR(S): Haemaelaeinen, Jouni P.; Aho, Martti J.; Tummavuori, Jouni L.
CORPORATE SOURCE: Combustion Thermal Engineering Laboratory, Technical Research Centre Finland, Jyvaeskylae, 40101, Finland
SOURCE: Fuel (1994), 73(12), 1894-8
CODEN: FUELAC; ISSN: 0016-2361
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The conversion of fuel-nitrogen to HCN and NH3 and to NOx was studied with several N-containing model compds. chosen to represent the main nitrogen and oxygen functionalities in fossil fuels. Two kinds of expts. were performed in an entrained-flow reactor at 800°. The conversion of model-compound-N to HCN and NH3 was determined under inert conditions, and the formation of NO, N2O, and NO2 was determined under oxidizing conditions. In an inert atmospheric, oxygen-containing functional groups had an important effect on the ratio of HCN to NH3. In particular, OH groups bound directly in the ring structure increased the conversion of nitrogen to NH3. In oxidizing atmospheric, the conversion of model-compound-N to N2O were high, but the substituent groups had no well-defined effect on the ratio of N2O to NO. The formation of NO2 was insignificant.

IT 6284-40-8, Meglumine
RL: RCT (Reactant); RACT (Reactant or reagent)
(model compound; correlations of NOx formation from combustion of N-containing model compds. representative of fuel-N functionality)
RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



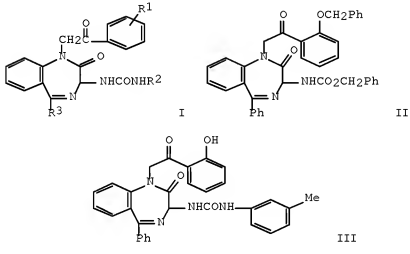

L28 ANSWER 37 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:245179 HCAPLUS Full-text
DOCUMENT NUMBER: 120:245179
ORIGINAL REFERENCE NO.: 120:43477a,43480a
TITLE: Preparation of benzodiazepine derivatives as cholecystokinin B and gastrin receptor antagonists
INVENTOR(S): Satoh, Masato; Okamoto, Yoshinori; Koshio, Hiroyuki; Nishida, Akito; Miyata, Keiji; Ohta, Mitsuaki; Ryder, Hamish; Kendrick, David A.; Semple, Graeme; Szelke, Michael
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Ferring B.V.
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9400438 | A1 | 19940106 | WO 1993-JP844 | 19930622 |
| W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SK, UA, US, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9343570 | A | 19940124 | AU 1993-43570 | 19930622 |
| AU 670597 | B2 | 19960725 | | |
| EP 647632 | A1 | 19950412 | EP 1993-913562 | 19930622 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| HU 68208 | A2 | 19950628 | HU 1994-3785 | 19930622 |
| JP 2726158 | B2 | 19980311 | JP 1993-502202 | 19930622 |
| FI 9405989 | A | 19941221 | FI 1994-5989 | 19941221 |
| NO 9405033 | A | 19950224 | NO 1994-5033 | 19941223 |
| PRIORITY APPLN. INFO.: | | | JP 1992-189826 A | 19920624 |
| | | | WO 1993-JP844 A | 19930622 |

OTHER SOURCE(S): MARPAT 120:245179

GI



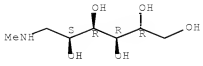

AB The title compds. I [R1 = H, alkyl, OH; R2 = Ph having one or more substituents, pyridyl, etc. (further details on substituents of said Ph are given); R3 = Ph, pyridiyl; a proviso is given] were prepared I inhibit gastric juice secretion. Treatment of benzodiazepine II with 40% HBr in AcOH, followed by reaction with m-tolyl isocyanate, gave benzodiazepine III. The title compds. in vitro exhibited an IC50 of 0.16 to 2.14 mM against cholecystokinin B binding. Formulations containing I are given.

IT 6284-40-8, N-Methyl-D-glucamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of drug)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 38 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:525183 HCAPLUS Full-text
DOCUMENT NUMBER: 119:125183
ORIGINAL REFERENCE NO.: 119:22335a,22338a
TITLE: Aqueous synthetic organ extracts
PATENT ASSIGNEE(S): Schuelke und Mayr G.m.b.H., Germany
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

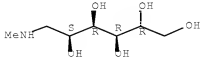
| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| DE 4139639 | A1 | 19930603 | DE 1991-4139639 | | 19911202 |
| WO 9310802 | A1 | 19930610 | WO 1992-DE1028 | | 19921202 |
| W: JP, US | | | | | |
| EP 552516 | A1 | 19930728 | EP 1992-250349 | | 19921202 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE | | | | | |
| JP 06506000 | T | 19940707 | JP 1993-509719 | | 19921202 |
| PRIORITY APPLN. INFO.: | | | DE 1991-4139639 | A | 19911202 |
| | | | DE 1992-4227633 | A | 19920818 |
| | | | WO 1992-DE1028 | W | 19921202 |

AB Aqueous synthetic organ exts. are prepared which have an activity spectrum comparable to that of the corresponding natural organ extract, but without the side effects due to the presence of pathogen or virus proteins, protein degradation products, and hormones. The synthetic exts. contain amino acids, peptides, nucleotides, carbohydrates, C3-6 aliphatic carboxylic acids, C2-7 aliphatic and/or aromatic alcs., and optionally vitamins, mineral salts and/or trace elements, buffers, and preservatives. Prepns. of synthetic placenta, serum, spleen, thymus, and connective tissue exts. and collagen hydrolyzate are cited as examples. The exts. are useful in cosmetics, to stimulate wound healing, immunity, and cell metabolism, and for treatment of digestive tract disorders, especially ulcers.

IT 6284-40-8, N-Methylglucamine
RL: BIOL (Biological study)
(synthetic aqueous organ exts. containing)

RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.

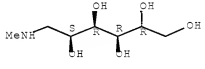


L28 ANSWER 39 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:400277 HCAPLUS Full-text
DOCUMENT NUMBER: 117:277
ORIGINAL REFERENCE NO.: 117:43a,46a
TITLE: Mechanism of allergic cross-reactions. I. Multispecific binding of ligands to a mouse monoclonal anti-DNP IgE antibody
AUTHOR(S): Varga, Janos M.; Kalchschmid, Gertrud; Klein, Georg F.; Fritsch, Peter
CORPORATE SOURCE: Dep. Dermatol., Univ. Innsbruck, Innsbruck, 6020, Austria
SOURCE: Molecular Immunology (1991), 28(6), 641-54
CODEN: MOIMD5; ISSN: 0161-5890
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A recently developed solid-phase binding assay was used to investigate the specificity of ligand binding to a mouse monoclonal anti-dinitrophenyl IgE (I). All DNP-amino acids, that were tested inhibited the binding of the radio-labeled I to DNP covalently attached to polystyrene microplates; however, the concentration for 50% inhibition varied within four orders of magnitude, DNP-L-serine being the most and DNP-L-proline the least potent inhibitor. In addition to DNP analogs, a large number of drugs and other compds. were tested for their ability to compete with DNP for the binding site of I. At the concentration used for screening, 59% of compds. had no significant inhibition; 19% inhibited the binding of I more than 50%. Several families of compds. (tetracyclines, polymyxins, phenothiazines, salicylates, and quinones) that were effective competitors were found. Within these families, changes in the functional groups attached to the family stem had major effects on the affinity of ligand binding. The occurrence frequencies of interactions of ligands with I is in good agreement with the semi-empirical model for multispecific antibody-ligand interactions.

IT 6284-40-8, Meglumin
RL: BIOL (Biological study)
(binding of, to anti-dinitrophenol monoclonal antibody, allergic cross-reaction mechanism in relation to)
RN 6284-40-8 HCAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




L28 ANSWER 40 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:214398 HCAPLUS Full-text
DOCUMENT NUMBER: 112:214398
ORIGINAL REFERENCE NO.: 112:36153a,36156a
TITLE: Characterization of a 25-pS nonselective cation channel in a cultured secretory epithelial cell line
AUTHOR(S): Cook, D. I.; Poronnik, P.; Young, J. A.
CORPORATE SOURCE: Dep. Physiol., Univ. Sydney, Sydney, 2006, Australia
SOURCE: Journal of Membrane Biology (1990), 114(1), 37-52
CODEN: JMBBBO; ISSN: 0022-2631
DOCUMENT TYPE: Journal

LANGUAGE: English

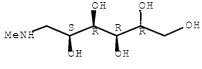
AB A 25-pS nonselective cation channel from the apical membranes of cell line ST885, derived from neonatal mouse mandibular glands, was studied. Its Cl- permeability was not significantly different from zero. The permeabilities (relative to Na-) for inorg. cations were NH4+ (1.87) > K+ (1.12) > Li+ (1.02) > Mg2+ (0.07) > Ca3+ (0.002), and for organic cations, guanidinium (1.61) > ethanolamine (0.70) > 4-aminopyridine (0.66) > diethylamine (0.54) > piperazine (0.25) > Tris (0.18) > N-methylglucamine (0.12). The Tris and N-methylglucamine permeabilities differed significantly from zero. Fitting the Renkin equation indicated that the channel had no equivalent pore radius of 0.49 nm. The channel was activated by Ca2+ on the cytosolic surface (>0.1 mM) with a Hill coefficient of 1.2; it was also activated by depolarization. Open- and closed-time histograms indicated that it had ≥2 open and 2 closed states. The channel was blocked by cytosolic AMP or ATP (0.1 mM). It was also blocked by the Cl-/channel blocker, diphenylamine-2-carboxylate (DPC; 0.1 mM), applied to the extracellular but not the cytosolic surface. 4-Aminopyridine, which permeated the channel when applied to the extracellular surface, blocked it when applied in low concns. (5 mM) to the cytosolic surface. Quinine (0.1 mM) blocked from both the extracellular and cytosolic surfaces, blockade from either side being enhanced by depolarization. The channel was held open by application of SITS (0.1 mM) to the cytosolic surface. The channel shows striking similarities to the nicotinic acetylcholine receptor channel, viz., both channel types are abnormally permeable to 4-aminopyridine applied externally, and their selectivity sequences for inorg. ions are similar and for organic cations are identical.

IT 6284-40-8, N-Methylglucamine
RL: BIOL (Biological study)
(cation channel permeability to, in mandibular epithelial cells)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




L28 ANSWER 41 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1963:65835 HCAPLUS Full-text

DOCUMENT NUMBER: 58:65835

ORIGINAL REFERENCE NO.: 58:11170e-f

TITLE: Pharmaceutical preparations of orotic acid. I. Orotic acid solubilizing agents

AUTHOR(S): Nakatani, Hiromi

CORPORATE SOURCE: Takeda Pharm. Inds., Ltd., Osaka, Japan

SOURCE: Yakugaku Zasshi (1963), 83, 1-5
CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB For the purpose of solubilization of orotic acid (I) in H2O, such solubilizing agents as lower aliphatic primary amines, N,N- dimethylnicotinamide, N,N-dipropionylnicotinamide, N-methylglucamine, and alkanolamine derivs. have been used. The solubility reinforcement action for I of about 40 kinds of medicinal compds., which have been often used for the H2O solubilization of difficultly soluble medicines, has been examined HCONH2, nieotinamide,

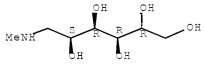
nicotinic acid, and some amines showed this action. Except in a few cases, monoamines were found to possess the reinforcement action in the ratio of 1:1 with I, suggesting complex formation.

IT 5284-40-8, Glucitol, 1-deoxy-1-(methylamino)-
(as solubilizing agent for orotic acid)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




L28 ANSWER 42 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

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|---|---|
| ACCESSION NUMBER: | 1960:2241 HCAPLUS Full-text |
| DOCUMENT NUMBER: | 54:2241 |
| ORIGINAL REFERENCE NO.: | 54:530d-i,531a-c |
| TITLE: | Isonicotinoylacetic ester and its derivatives. II. Condensation with aldehydes and amines |
| AUTHOR(S): | Magidson, O. Yu. |
| CORPORATE SOURCE: | S. Ordzhonikidze All-Union Chem. Pharm. Sci. Research Inst., Moscow |
| SOURCE: | Zhurnal Obshchei Khimii (1959), 29, 165-74<br>CODEN: ZOKHA4; ISSN: 0044-460X |
| DOCUMENT TYPE: | Journal |
| LANGUAGE: | Unavailable |
| OTHER SOURCE(S): | CASREACT 54:2241 |

AB cf. C.A. 50, 16764c. To 9.7 g. Et isonicotinoylacetate in 20 ml. EtOH there was added at 10° 2 ml. formalin and after 3 hrs. the mixture was heated 4 hrs. on a steam bath, concentrated in vacuo and heated 3 hrs. with 10 ml. 6N HCl; after neutralization with 30% NaOH, there separated 78% 1,3-diisonicotinoylpropane (I), m. 92-3°; mono-HCl salt, decomposing 254-6°; di-HCl salt is very soluble; dioxime, m. 197-8° (80% EtOH). Heating 3 g. I with 2 g. HONH2.HCl and 10 ml. 90% EtOH in a sealed tube 7 hrs. at 160° gave 38% 2,6-bis(4-pyridyl)pyridine, HCl salt tetrahydrate, m. 280-5°; free base, m. 144-6° (EtOAc). The infrared spectrum of the substance is shown. The free base also forms a very soluble di-HCl salt and a picrate, decomposing 252-4°. Reduction of I with (iso-PrO)3Al-iso-PrOH 4 hrs. on a steam bath gave after the usual treatment 82% glassy 1,5-di(4-pyridyl)pentanediol, b0.5 242-5°. Heating 7.7 g. Et isonicotinoylacetate with 3 g. m-O2NC6H4CHO in 5 ml. EtOH 4 hrs. with slow distillation of the solvent gave, after an aqueous treatment and refluxing the product 3 hrs. with 5:3 HCl, 1,3-diisonicotinoyl -2-(m-nitrophenyl)propane, m. 151-2° (MeOH); dioxime, m. 258-60°. Heating 9.7 g. Et isonicotinoylacetate with 5.8 g. BzH and 1 drop piperidine 3 hrs. on a steam bath gave after treatment with 5% HCl, followed by 10% NaOH, α,α'-diisonicotinoyl-β-phenylglutaric acid di-Et ester (II), m. 102-3°, and Et benzyli deneisonicotinoylacetate (III), m. 110-12°, separated by crystallization from 70% MeOH. The former refluxed with 20% HCl gave 2-phenyl-1,3-diisonicotinoylpropane, m. 103° (monohydrate), m. 108-10° (anhydrous). An attempt to form the oxime of II gave 3-(4-pyridyl)isoxazolone, decomposing 194-5°, which also formed in a similar attempt made with III. Condensation of Et isonicotinoylacetate (IV) with salicylaldehyde in EtOH gave a little isonicotinoylacetylisonicotinoylacetic

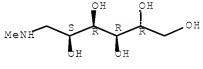
acid, m. 261-2°. A mixture of 9.6 g. IV with 8.3 g. CCl3CHO.H2O gave after 3 hrs. on a steam bath with 10 ml. AcOH and after dilution with 10 ml. H2O after cooling, a solid mass which was extracted with EtOAc to give 4-C5H4NCOCH(CHOHCCl3)CO2Et, m. 139-41° (EtOAc); this, heated with 20% HCl gave γ-pyridyl 3,3,3-trichloro-2-hydroxypropyl ketone, m. 177-8°, and a small amount of a substance, m. 307-10°, which was not identified. Heating 9.5 g. I with 3.7 g. p-Me2NC6H4CHO in 5 ml. AcOH 4 hrs. at 120° gave 3.3 g. yellow 2,5-diisonicotinoyl -3-(p-dimethylaminophenyl)glutaric acid di-Et ester, m. 137-8°. Heating 8.6 g. o-C6H4(NH2)2 and 15.4 g. I in xylene to 145-50° with gradual distillation of low boiling materials gave 15.5 g. 2-benzimidazolylmethyl γ-pyridyl ketone, m. 211-12°; HCl salt, m. 230-5°. Hydrogenation of 9.5 g. m-nitro-p-anisidine in EtOH over Pt at normal pressure, rapid filtration and treatment of the filtrate with 11.5 g. I, followed by addition of 40 ml. xylene and heating to 150° with slow distillation gave a solid, which was extracted with MeOH at reflux; the cooled extract gave a yellow precipitate while the filtrate on acidification with HCl and kept 2 days gave a precipitate which was taken up in hot 5% HCl and treated with AcONa to yield a red precipitate; this treated with NH4OH gave 3 g. yellow 2[4(5)-methoxybenzimidazolyl]methyl 4-pyridyl ketone, m. 317-19° (C5H5N); di-HCl salt, yellow, m. 275-7°. Refluxed with 48% HBr 5 hrs. this gave yellow-green 2-[4(5)- hydroxybenzimidazolyl]methyl 4-pyridyl ketone tri-HBr salt, does not m. 370°; the mother liquor gave more of this product which treated with H2O gave red mono-HBr salt; treated with NaOH this gave a yellow solid of the free base, does not m. 370°.

IT 6284-40-8, Sorbitol, 1-deoxy-1-methylamino-
(salts with radiography contrast media)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.




L28 ANSWER 43 OF 43 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1955:85786 HCAPLUS Full-text

DOCUMENT NUMBER: 49:85786

ORIGINAL REFERENCE NO.: 49:16198h-i,16199a

TITLE: The effect of some commonly used vasodilator and sedative substances upon the acidity and volume of gastric juice

AUTHOR(S): Steigmann, F.; Hardt, L. L.; Hyman, S.; Schlesinger, R.

CORPORATE SOURCE: Hektoen Inst. for Med. Research, Chicago

SOURCE: Gastroenterology (1952), 21, 271-5
CODEN: GASTAB; ISSN: 0016-5085

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB A moderate and statistically significant increase in acidity and volume occurs in 15-45 min. after intravenous or oral administration of liquid xanthine vasodilators (aminophylline, glucophylline, and theobromine) but not when xanthine substances or sedatives (Butisol or phenobarbital) are given orally in solid form. The free acidity or volume was not significantly altered by dihydroergocornine, NaNO2 (1 grain), papaverine (1 1/2 grains), and nicotanic

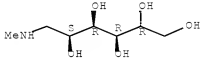
acid (100 mg.). In peptic ulcer patients the average gastric acidity changes following the use of vasodilators and sedatives did not differ significantly from those in the entire group.

IT 5284-40-8, Glucamine, N-methyl-
(effect on gastric juice acidity and volume)

RN 6284-40-8 HCAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)- (CA INDEX NAME)

Absolute stereochemistry.



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SEARCH HISTORY

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L27           1 SEA ABB=ON  L22 AND L14

     FILE 'HCAPLUS' ENTERED AT 15:01:16 ON 02 OCT 2008
L28          43 SEA ABB=ON  L26 OR L27

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 2 Oct 2008 (20081002/PD)
FILE LAST UPDATED: 2 Oct 2008 (20081002/ED)
HIGHEST GRANTED PATENT NUMBER: US7430762
HIGHEST APPLICATION PUBLICATION NUMBER: US20080244796
CA INDEXING IS CURRENT THROUGH 2 Oct 2008 (20081002/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 2 Oct 2008 (20081002/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2008
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2008

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